

09/976,249

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L5 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:293644 CAPLUS

DOCUMENT NUMBER: 136:295024

TITLE: Preparation of dioxolane nucleoside analogs for improved inter-cellular delivery

INVENTOR(S): Attardo, Giorgio

PATENT ASSIGNEE(S): Shire Biochem Inc., Can.; Zacharie, Boulos; Rej, Rabindra; Lavallee, Jean-Francois; Vaillancourt, Louis; Denis, Real; Levesque, Sophie

SOURCE: PCT Int. Appl., 273 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

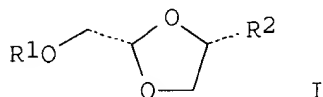
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030922	A2	20020418	WO 2001-CA1464	20011015
WO 2002030922	A3	20020926		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002012015	A5	20020422	AU 2002-12015	20011015
US 2003013660	A1	20030116	US 2001-976249	20011015
PRIORITY APPLN. INFO.:			US 2000-239885P	P 20001013
			US 2001-288424P	P 20010504
			WO 2001-CA1464	W 20011015

OTHER SOURCE(S): MARPAT 136:295024

GI



AB Dioxolane nucleosides analogs I wherein: R1 is H, alkyl, alkenyl, aryl, heteroarom. ring, non-arom. ring, phosphate; R2 is substituted nucleobase, or peptide-contg. nucleobase; are useful in treating a patient having cancer. Thus, 4-hexyl-benzoic acid 4-(4-amino-2-oxo-2H-pyrimidin-1-yl)-[1,3]dioxolan-2-yl-Me ester was prepd. and its cellular uptake by and nucleobase transporter (NT) proteins inhibition encoded by the the genes hCNT1, hCNT2, and hCNT3, are reported.

IT 145416-35-9P 408342-12-1P 408342-20-1P
408342-34-7P 408342-36-9P 408342-37-0P
408342-38-1P 408342-40-5P 408342-42-7P
408342-44-9P 408342-46-1P 408342-47-2P
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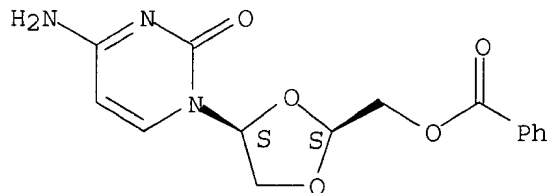
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(prepn. of dioxolane nucleoside analogs for improved intercellular delivery)

RN 145416-35-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 408342-12-1 CAPLUS

CN 4-Piperidineacetic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

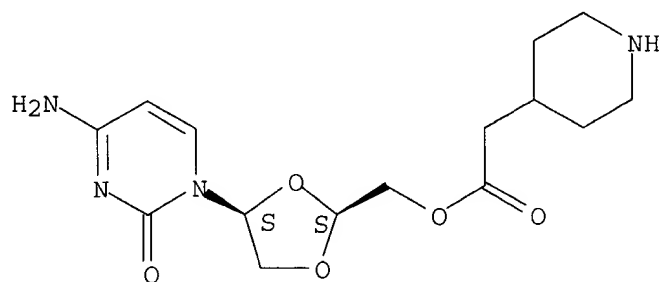
CM 1

CRN 408342-11-0

CMF C15 H22 N4 O5

Absolute stereochemistry.

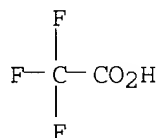
09/976,249



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 408342-20-1 CAPLUS

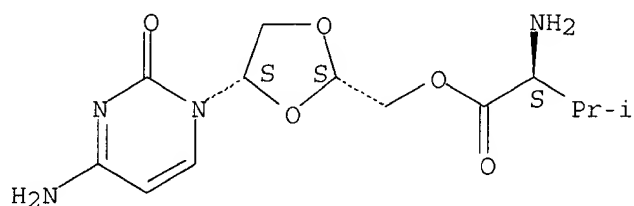
CN L-Valine, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 408342-19-8

CMF C13 H20 N4 O5

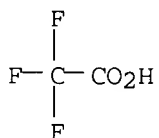
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

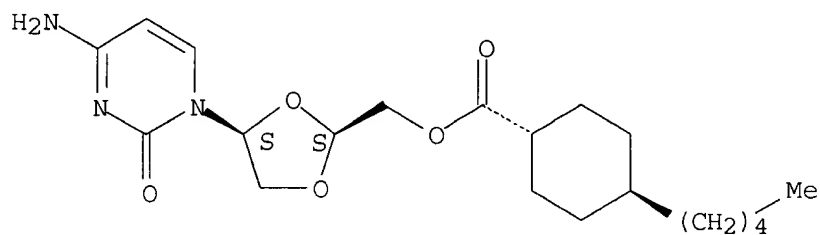


RN 408342-34-7 CAPLUS

09/976,249

CN Cyclohexanecarboxylic acid, 4-pentyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride, trans-(9CI) (CA INDEX NAME)

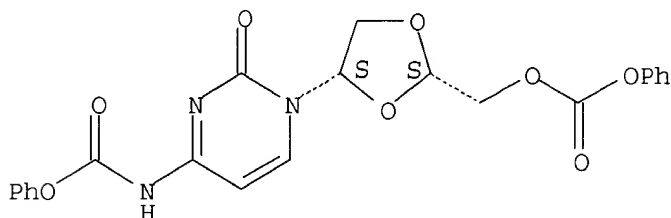
Absolute stereochemistry.



RN 408342-36-9 CAPLUS

CN Carbonic acid, [(2S,4S)-4-[2-oxo-4-[(phenoxy carbonyl)amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl phenyl ester (9CI) (CA INDEX NAME)

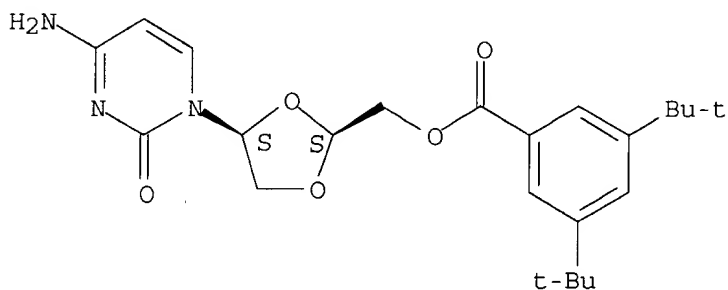
Absolute stereochemistry.



RN 408342-37-0 CAPLUS

CN Benzoic acid, 3,5-bis(1,1-dimethylethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

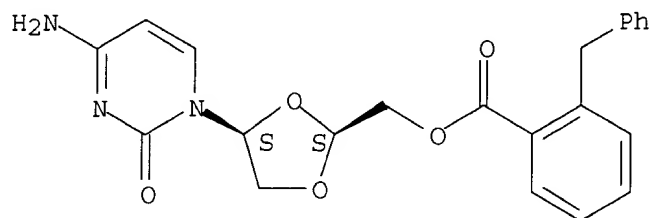


RN 408342-38-1 CAPLUS

CN Benzoic acid, 2-(phenylmethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

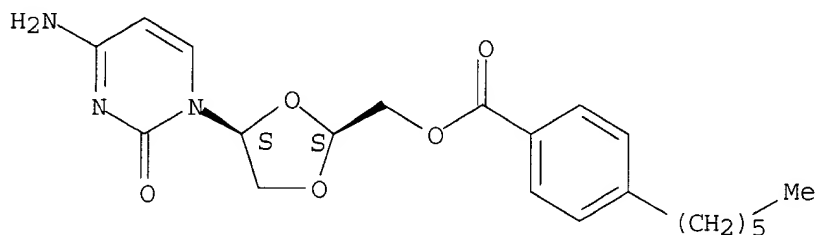
09/976,249



RN 408342-40-5 CAPLUS

CN Benzoic acid, 4-hexyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

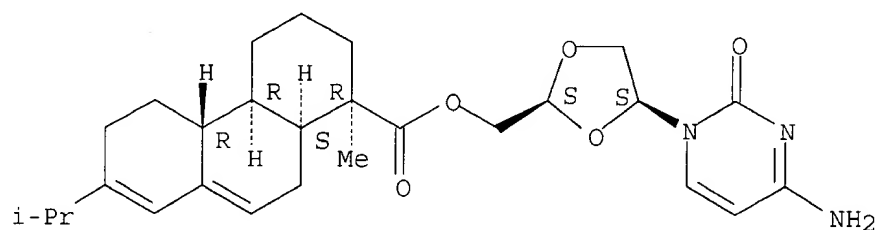
Absolute stereochemistry.



RN 408342-42-7 CAPLUS

CN 1-Phenanthrenecarboxylic acid, 1,2,3,4,4a,4b,5,6,10,10a-decahydro-1-methyl-7-(1-methylethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, (1R,4aR,4bR,10aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

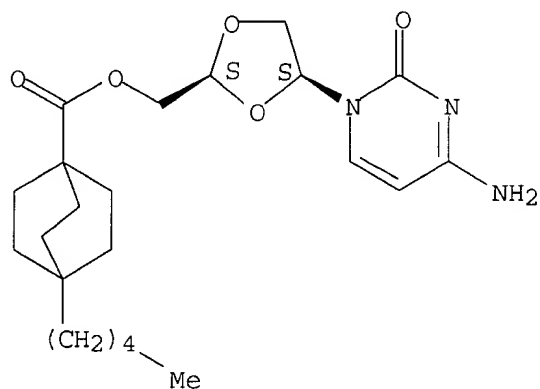


RN 408342-44-9 CAPLUS

CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-pentyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

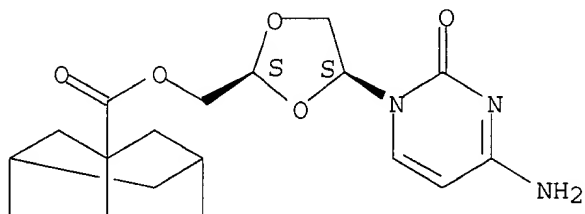
09/976,249



RN 408342-46-1 CAPLUS

CN 2,5-Methanopentalene-3a(1H)-carboxylic acid, hexahydro-,
[(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl
ester (9CI) (CA INDEX NAME)

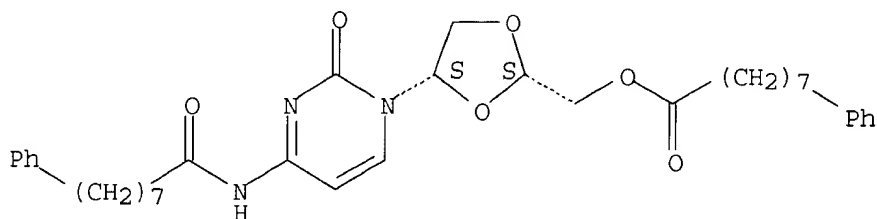
Absolute stereochemistry.



RN 408342-47-2 CAPLUS

CN Benzeneoctanoic acid, [(2S,4S)-4-[2-oxo-4-[(1-oxo-8-phenyloctyl)amino]-
1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

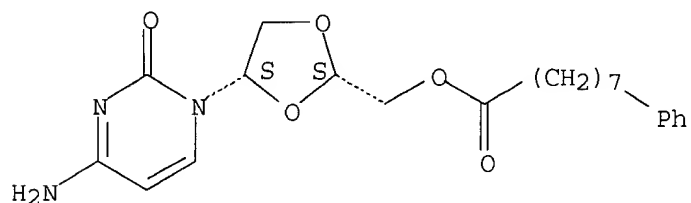


RN 408342-49-4 CAPLUS

CN Benzeneoctanoic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-
dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

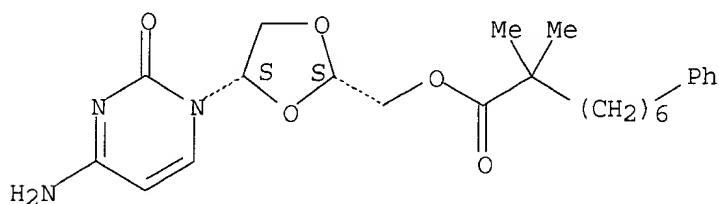
09/976,249



RN 408342-53-0 CAPLUS

CN Benzeneoctanoic acid, .alpha.,.alpha.-dimethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

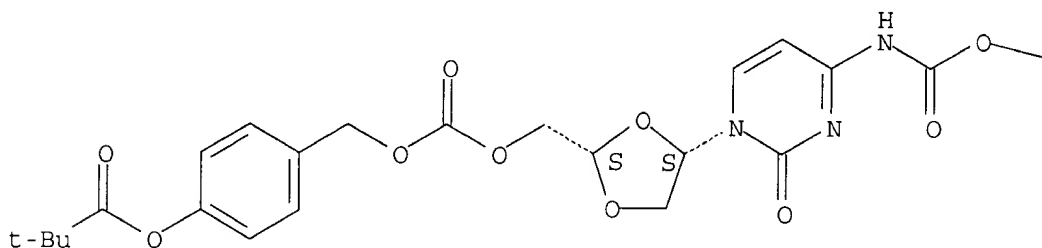


RN 408342-67-6 CAPLUS

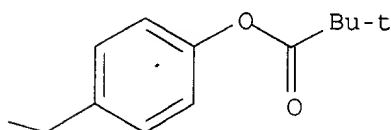
CN Propanoic acid, 2,2-dimethyl-, 4-[[[[[(2S,4S)-4-[4-[[[4-(2,2-dimethyl-1-oxopropoxy)phenyl]methoxy]carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methoxy]carbonyl]oxy]methyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



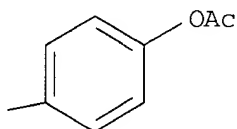
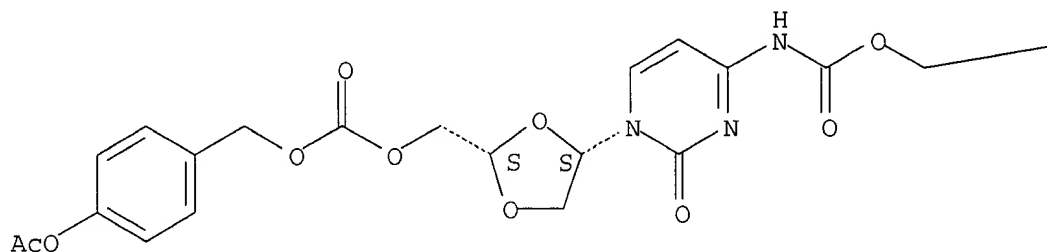
PAGE 1-B



RN 408342-68-7 CAPLUS

CN Carbonic acid, [(2S,4S)-4-[4-[[[4-(acetyloxy)phenyl]methoxy]carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl [4-(acetyloxy)phenyl]methyl ester (9CI) (CA INDEX NAME)

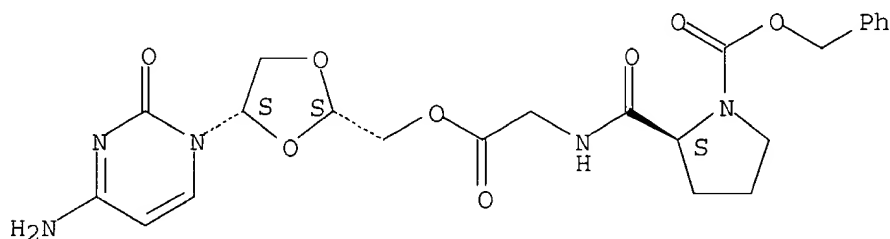
Absolute stereochemistry.



RN 408342-69-8 CAPLUS

CN Glycine, 1-[(phenylmethoxy)carbonyl]-L-prolyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

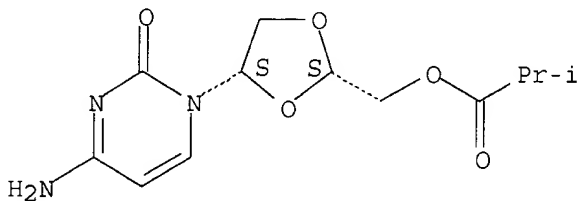
Absolute stereochemistry.



RN 408342-70-1 CAPLUS

CN Propanoic acid, 2-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

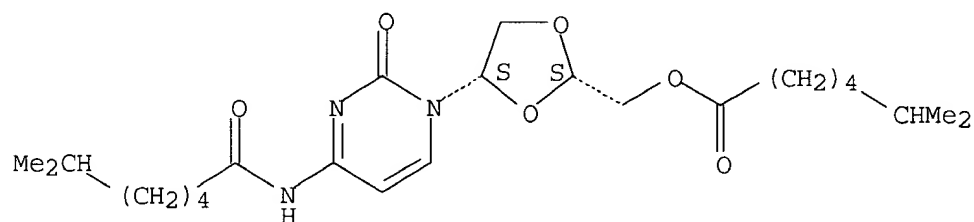


RN 408342-71-2 CAPLUS

CN Heptanoic acid, 6-methyl-, [(2S,4S)-4-[4-[(6-methyl-1-oxoheptyl)amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

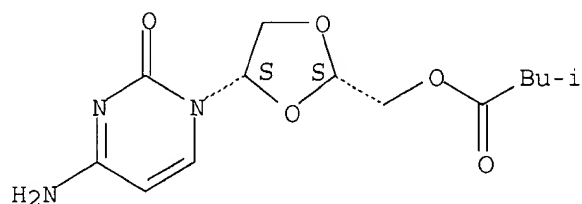
09/976,249



RN 408342-73-4 CAPLUS

CN Butanoic acid, 3-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

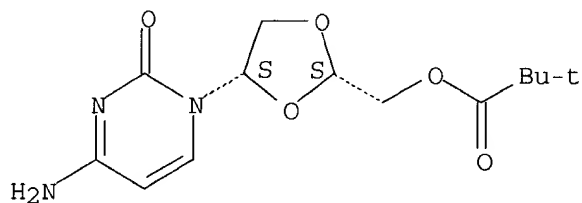
Absolute stereochemistry.



RN 408342-74-5 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

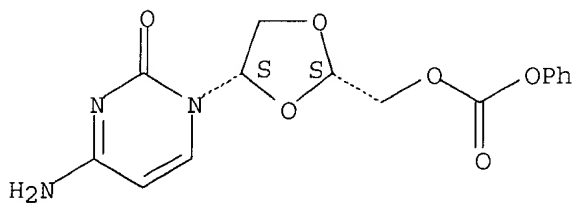
Absolute stereochemistry.



RN 408342-78-9 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

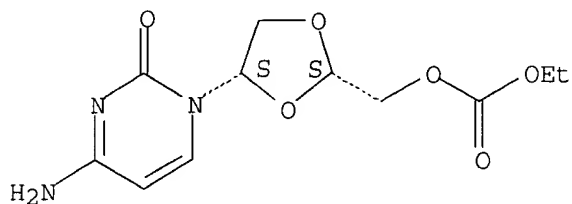


RN 408342-81-4 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

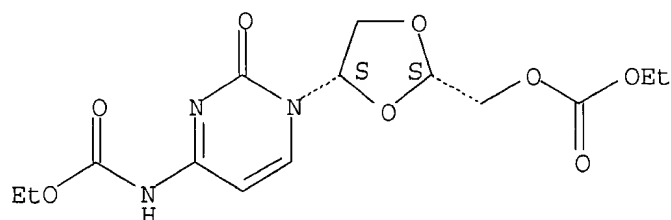
09/976,249



RN 408342-82-5 CAPLUS

CN Carbonic acid, [(2S,4S)-4-[4-[(ethoxycarbonyl)amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ethyl ester (9CI) (CA INDEX NAME)

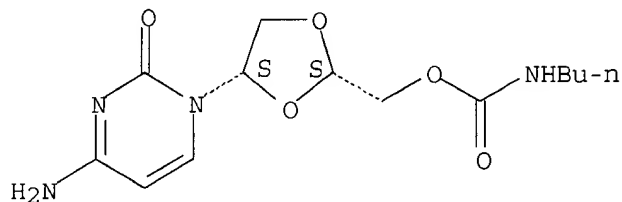
Absolute stereochemistry.



RN 408342-83-6 CAPLUS

CN Carbamic acid, butyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

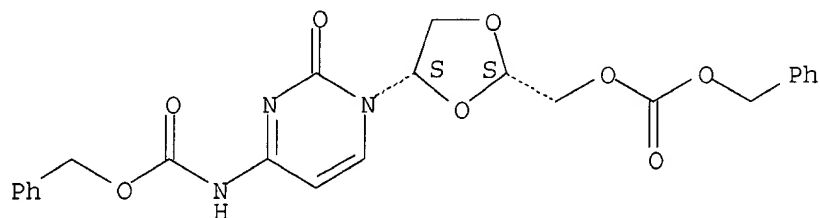
Absolute stereochemistry.



RN 408342-85-8 CAPLUS

CN Carbonic acid, [(2S,4S)-4-[2-oxo-4-[[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

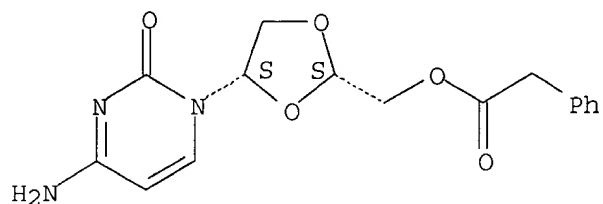


RN 408342-86-9 CAPLUS

CN Benzeneacetic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

09/976,249

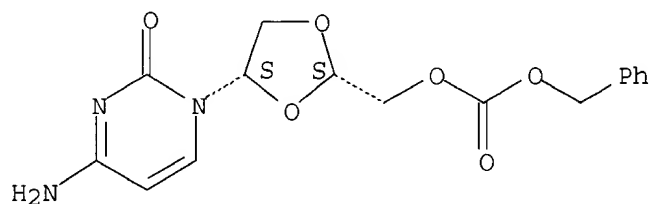
Absolute stereochemistry.



RN 408342-91-6 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl phenylmethyl ester (9CI) (CA INDEX NAME)

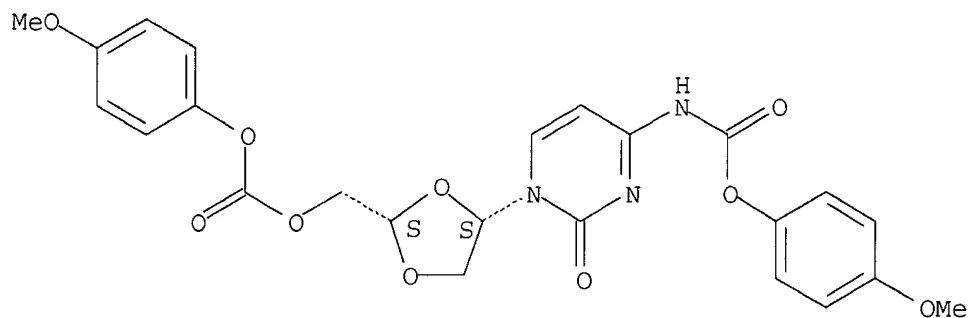
Absolute stereochemistry.



RN 408342-97-2 CAPLUS

CN Carbonic acid, [(2S,4S)-4-[4-[[[(4-methoxyphenoxy)carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl 4-methoxyphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

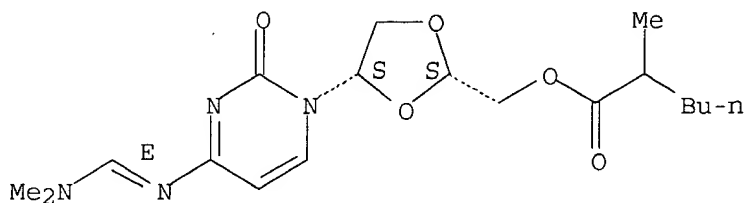


RN 408342-98-3 CAPLUS

CN Hexanoic acid, 2-methyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

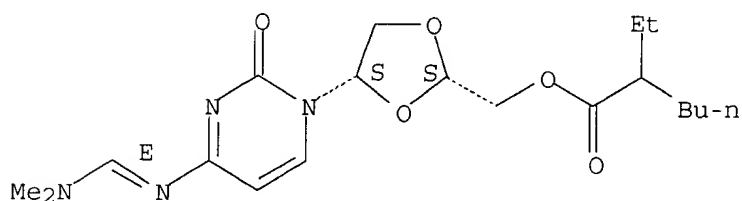
Double bond geometry as shown.



09/976,249

RN 408342-99-4 CAPLUS
CN Hexanoic acid, 2-ethyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

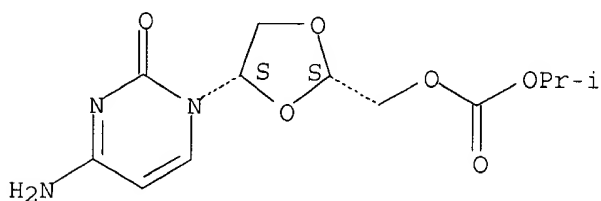


RN 408343-01-1 CAPLUS
CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl 1-methylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

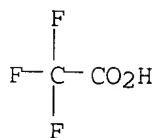
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CMF C12 H17 N3 O6

Absolute stereochemistry.



CM 2

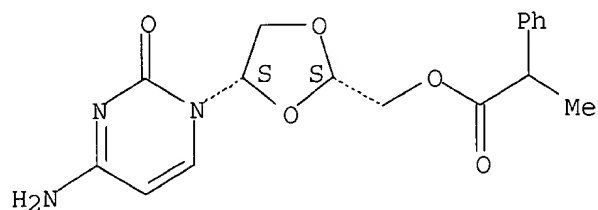
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CMF C2 H F3 O2



RN 408343-04-4 CAPLUS
CN Benzeneacetic acid, .alpha.-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

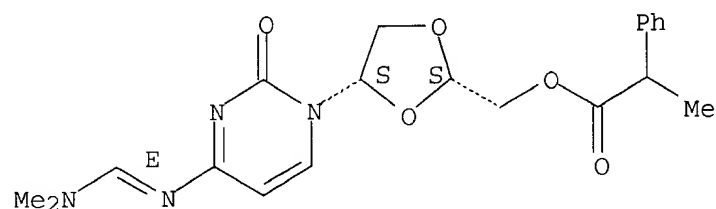
09/976,249



RN 408343-05-5 CAPLUS

CN Benzeneacetic acid, .alpha.-methyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

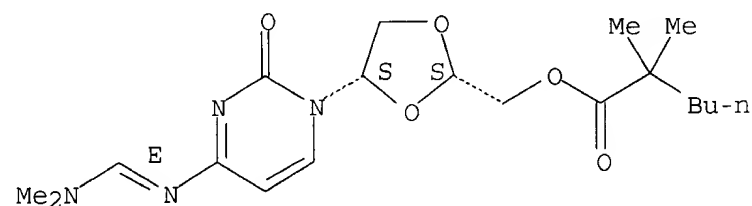
Absolute stereochemistry.
Double bond geometry as shown.



RN 408343-07-7 CAPLUS

CN Hexanoic acid, 2,2-dimethyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

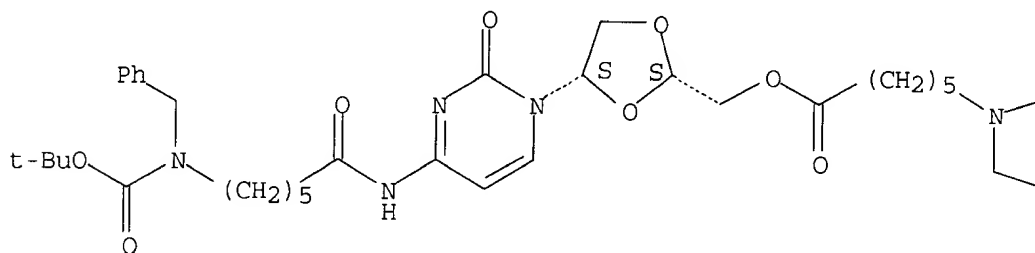


RN 408343-14-6 CAPLUS

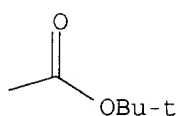
CN Hexanoic acid, 6-[[[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]-, [(2S,4S)-4-[4-[[6-[[[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]-1-oxohexyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



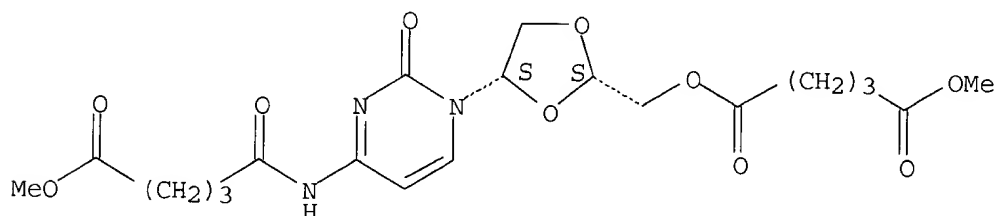
PAGE 1-B



RN 408343-16-8 CAPLUS

CN Pentanedioic acid, [(2S,4S)-4-[4-[(5-methoxy-1,5-dioxopentyl)amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl methyl ester (9CI) (CA INDEX NAME)

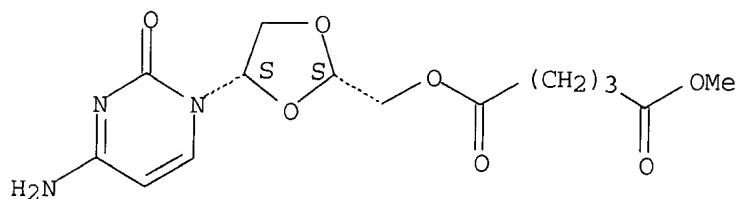
Absolute stereochemistry.



RN 408343-18-0 CAPLUS

CN Pentanedioic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 408343-20-4 CAPLUS

CN Pentanoic acid, 5-[(phenylmethyl)amino]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, bis(trifluoroacetate) (9CI)
(CA INDEX NAME)

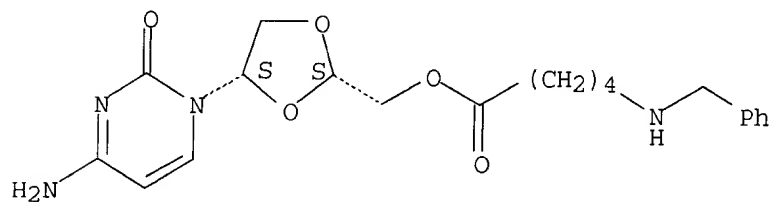
09/976,249

CM 1

CRN 408343-19-1

CMF C20 H26 N4 O5

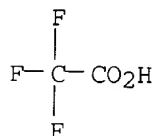
Absolute stereochemistry.



CM 2

CRN 76-05-1

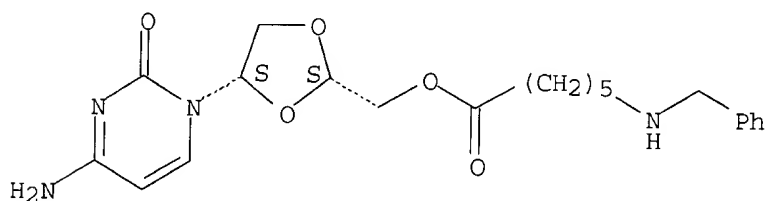
CMF C2 H F3 O2



RN 408343-21-5 CAPLUS

CN Hexanoic acid, 6-[(phenylmethyl)amino]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

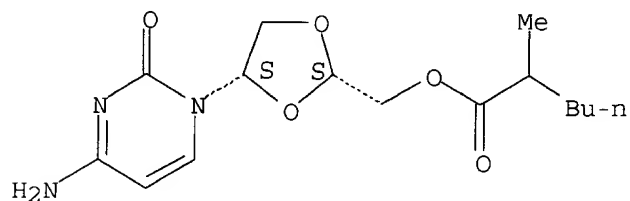


RN 408343-24-8 CAPLUS

CN Hexanoic acid, 2-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl)methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/976,249

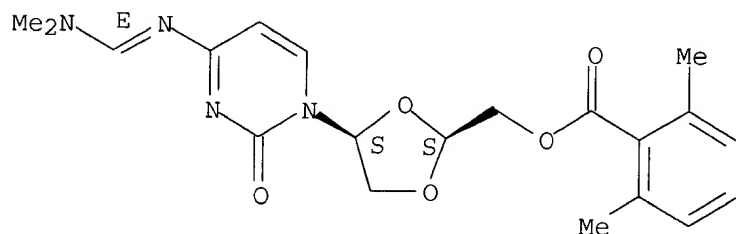


● HCl

RN 408343-25-9 CAPLUS

CN Benzoic acid, 2,6-dimethyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

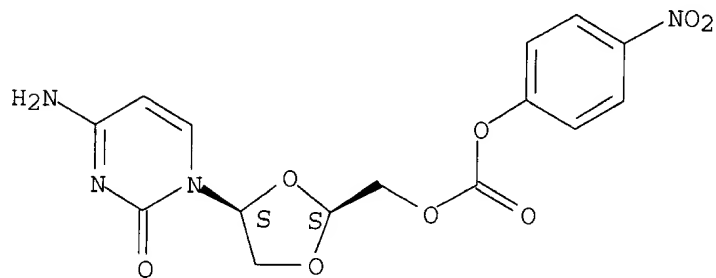
Absolute stereochemistry.
Double bond geometry as shown.



RN 408343-26-0 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl 4-nitrophenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



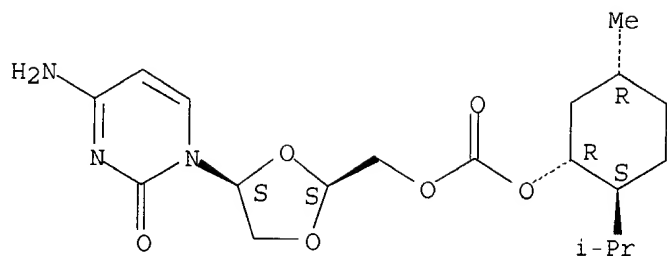
● HCl

RN 408343-34-0 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl (1R,2S,5R)-5-methyl-2-(1-methylethyl)cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

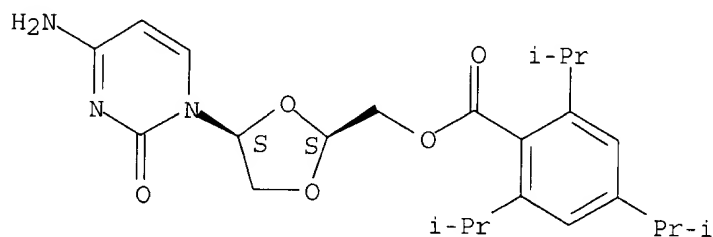
09/976,249



RN 408343-38-4 CAPLUS

CN Benzoic acid, 2,4,6-tris(1-methylethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

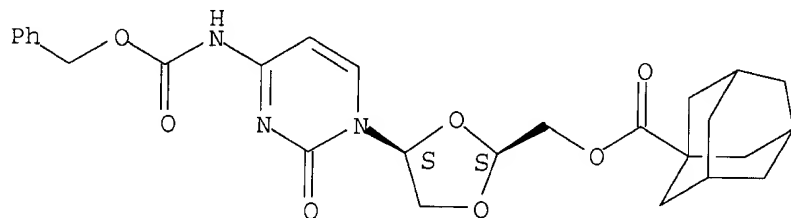
Absolute stereochemistry.



RN 408343-39-5 CAPLUS

CN Tricyclo[3.3.1.1^3,7]decane-1-carboxylic acid, [(2S,4S)-4-[2-oxo-4-[[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

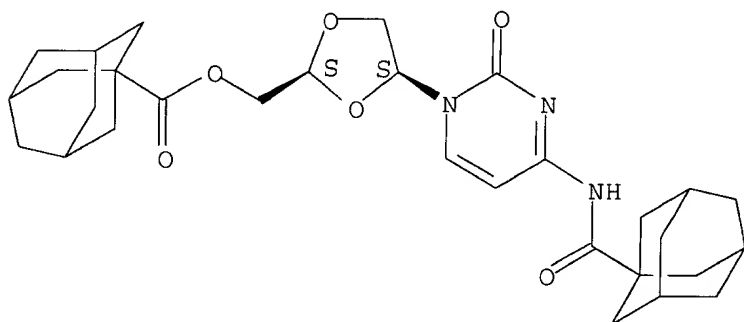


RN 408343-40-8 CAPLUS

CN Tricyclo[3.3.1.1^3,7]decane-1-carboxylic acid, [(2S,4S)-4-[2-oxo-4-[(tricyclo[3.3.1.1^3,7]dec-1-ylcarbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

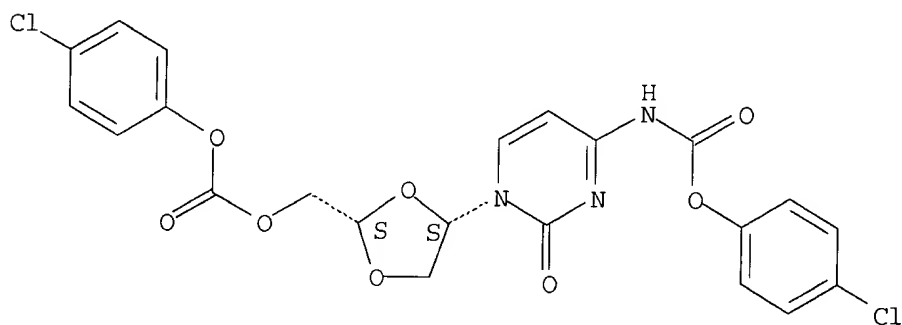
09/976,249



RN 408343-41-9 CAPLUS

CN Carbonic acid, [(2S,4S)-4-[4-[[[4-chlorophenoxy]carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl 4-chlorophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 408343-45-3 CAPLUS

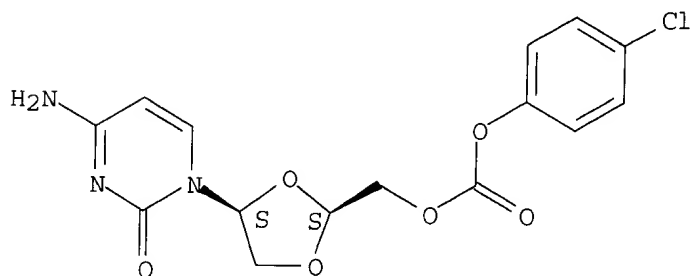
CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl 4-chlorophenyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 408343-44-2

CMF C15 H14 Cl N3 O6

Absolute stereochemistry.

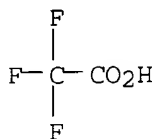


CM 2

CRN 76-05-1

09/976,249

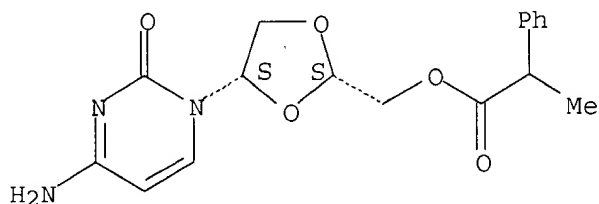
CMF C2 H F3 O2



RN 408343-46-4 CAPLUS

CN Benzeneacetic acid, .alpha.-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

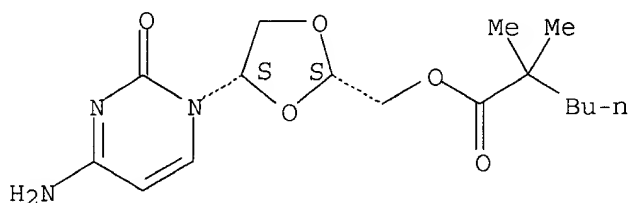


● HCl

RN 408343-47-5 CAPLUS

CN Hexanoic acid, 2,2-dimethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



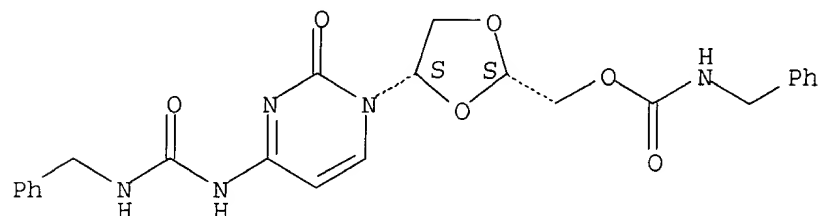
● HCl

RN 408343-49-7 CAPLUS

CN Carbamic acid, (phenylmethyl)-, [(2S,4S)-4-[2-oxo-4-[[[(phenylmethyl)amino]carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

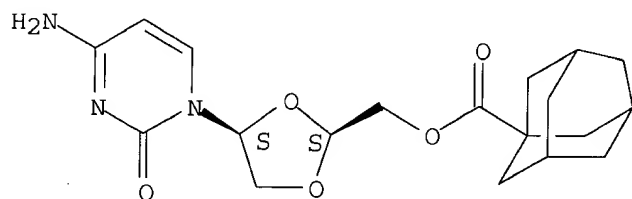
09/976,249



RN 408343-50-0 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxylic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



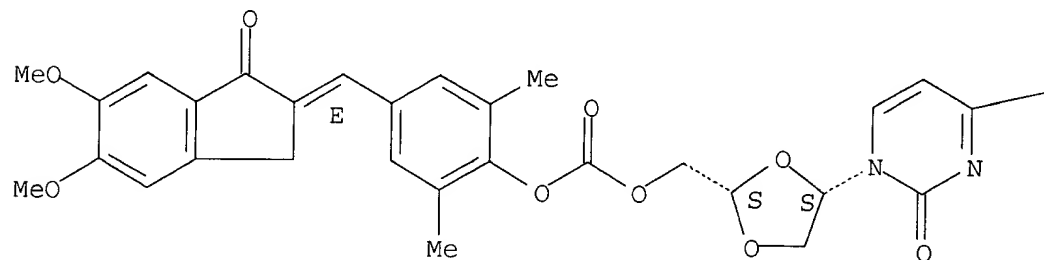
RN 408343-51-1 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl 4-[(E)-(1,3-dihydro-5,6-dimethoxy-1-oxo-2H-inden-2-ylidene)methyl]-2,6-dimethylphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



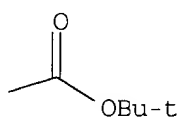
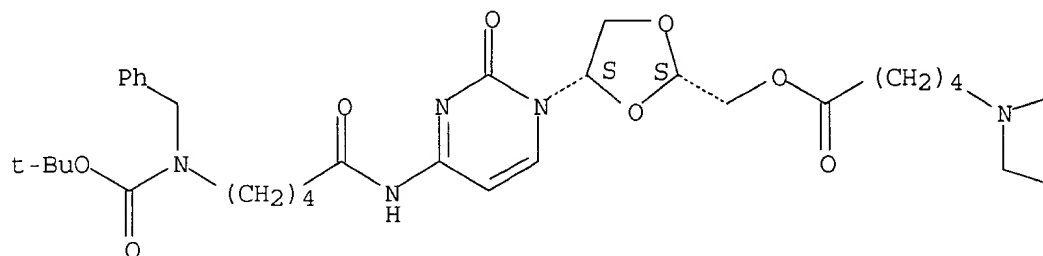
PAGE 1-B

—NH₂

RN 408343-53-3 CAPLUS

CN Pentanoic acid, 5-[[[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]-, [(2S,4S)-4-[4-[[5-[[[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]-1-oxopentyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

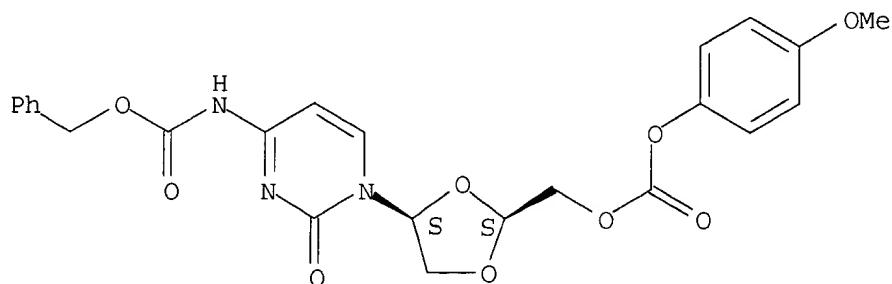
Absolute stereochemistry.



RN 408343-55-5 CAPLUS

CN Carbonic acid, 4-methoxyphenyl [(2S,4S)-4-[2-oxo-4-
[[(phenylmethoxy) carbonyl] amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-
yl]methyl ester (9CI) (CA INDEX NAME)

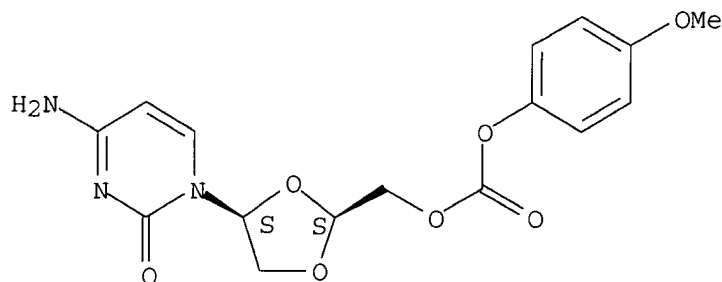
Absolute stereochemistry.



RN 408343-57-7 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-
yl]methyl 4-methoxyphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

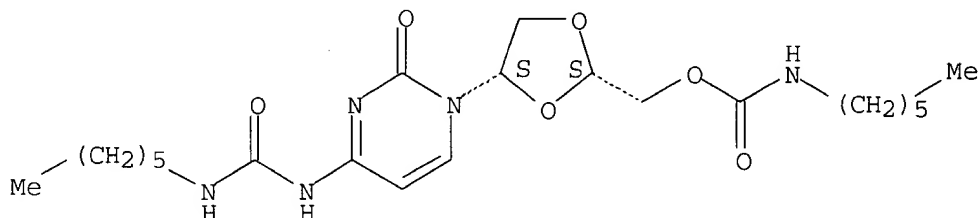


RN 408343-58-8 CAPLUS

09/976,249

CN Carbamic acid, hexyl-, [(2S,4S)-4-[4-[[[(hexylamino)carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

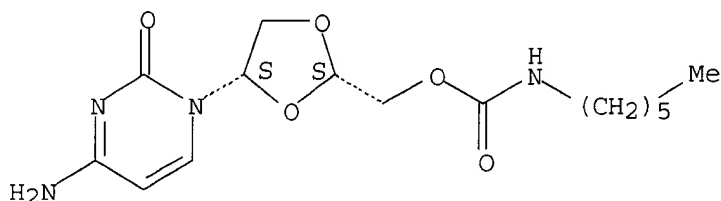
Absolute stereochemistry.



RN 408343-60-2 CAPLUS

CN Carbamic acid, hexyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

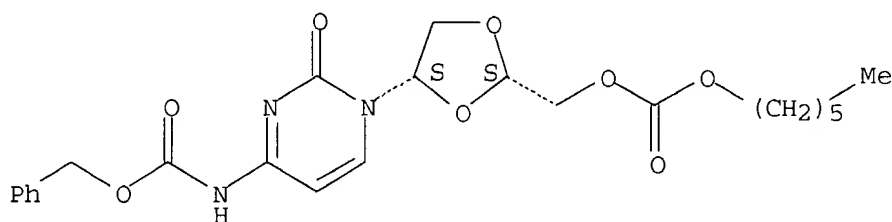
Absolute stereochemistry.



RN 408343-61-3 CAPLUS

CN Carbonic acid, hexyl [(2S,4S)-4-[2-oxo-4-[[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

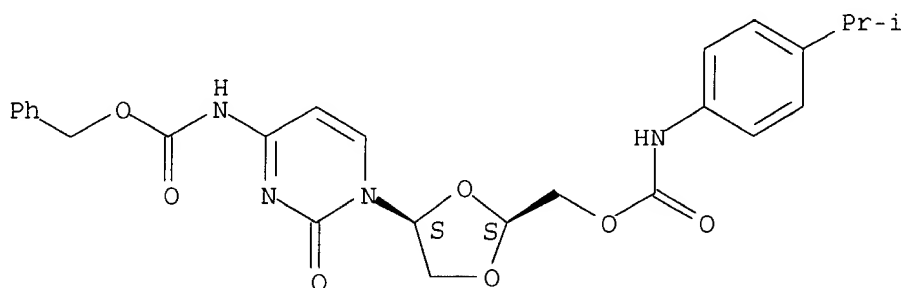


RN 408343-63-5 CAPLUS

CN Carbamic acid, [1,2-dihydro-1-[(2S,4S)-2-[[[[[4-(1-methylethyl)phenyl]amino]carbonyl]oxy]methyl]-1,3-dioxolan-4-yl]-2-oxo-4-pyrimidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

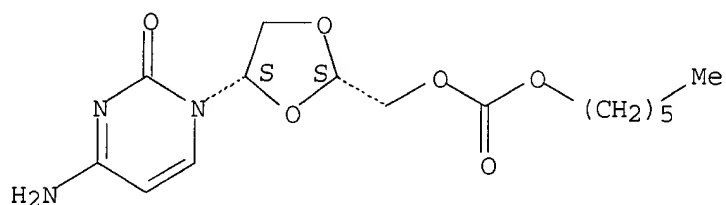
09/976,249



RN 408343-65-7 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl hexyl ester (9CI) (CA INDEX NAME)

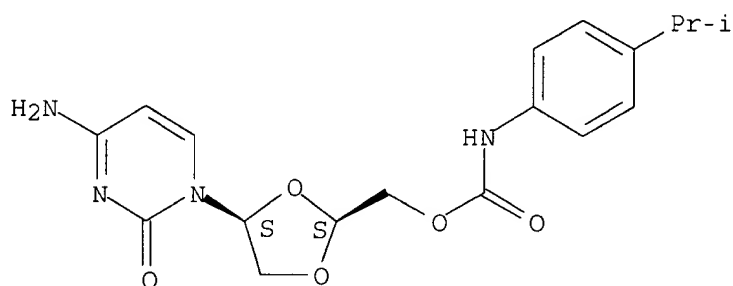
Absolute stereochemistry.



RN 408343-66-8 CAPLUS

CN Carbamic acid, [4-(1-methylethyl)phenyl]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

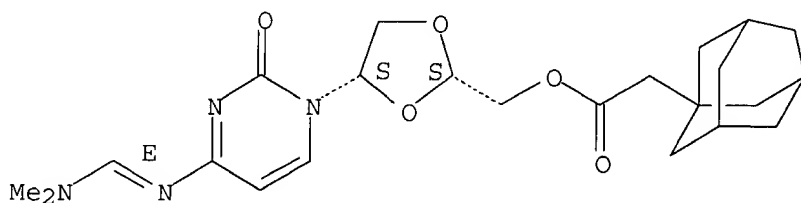


RN 408343-69-1 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-acetic acid, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

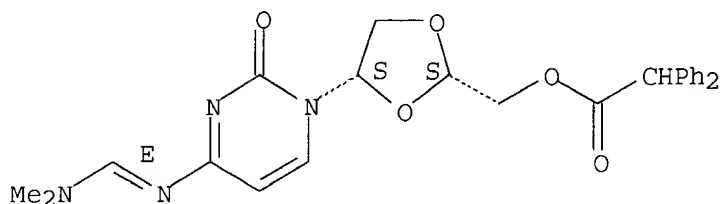


09/976,249

RN 408343-70-4 CAPLUS

CN Benzeneacetic acid, .alpha.-phenyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

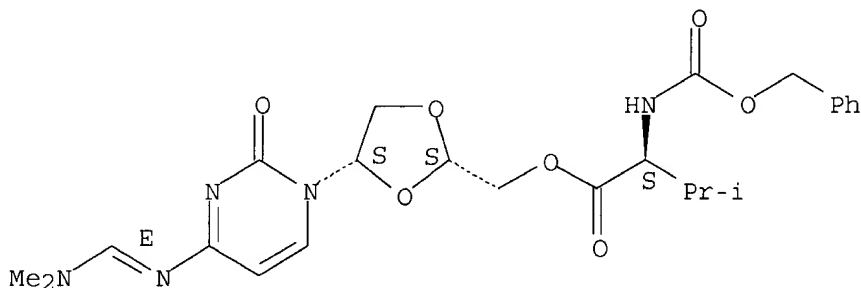
Absolute stereochemistry.
Double bond geometry as shown.



RN 408343-71-5 CAPLUS

CN L-Valine, N-[(phenylmethoxy)carbonyl]-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

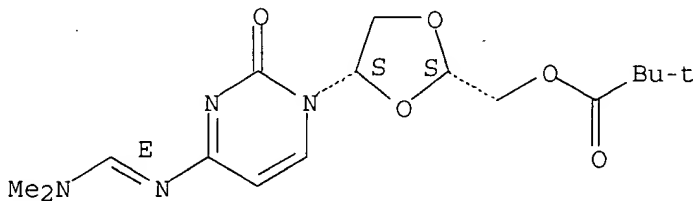
Absolute stereochemistry.
Double bond geometry as shown.



RN 408343-72-6 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

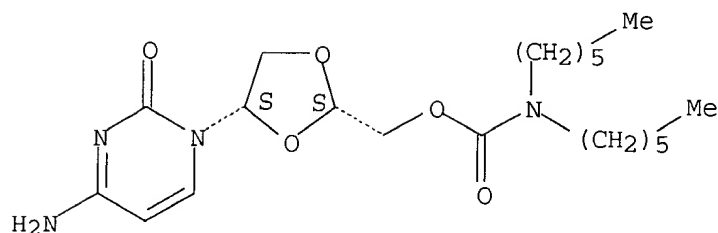


RN 408343-74-8 CAPLUS

CN Carbamic acid, dihexyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

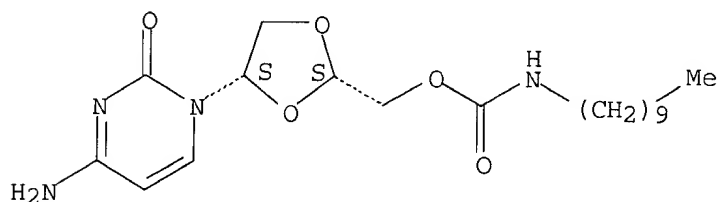
09/976,249



RN 408343-76-0 CAPLUS

CN Carbamic acid, decyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

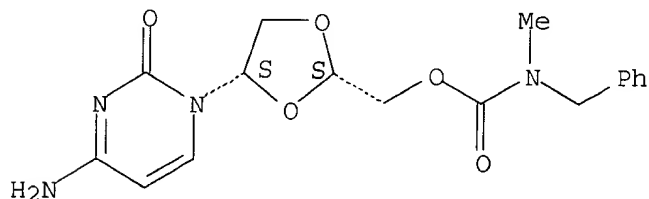
Absolute stereochemistry.



RN 408343-79-3 CAPLUS

CN Carbamic acid, methyl(phenylmethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

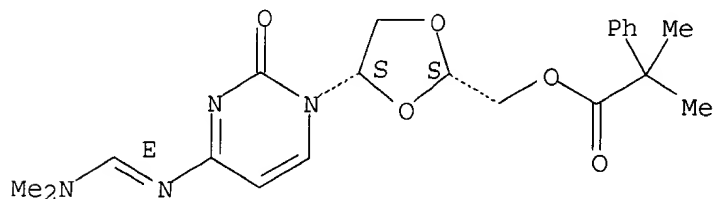


RN 408343-82-8 CAPLUS

CN Benzeneacetic acid, .alpha.,.alpha.-dimethyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

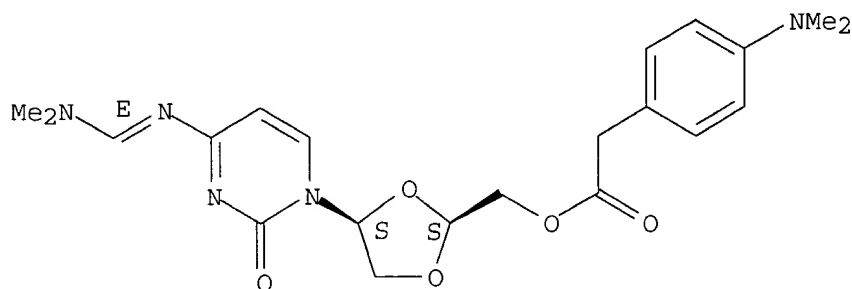


RN 408343-84-0 CAPLUS

CN Benzeneacetic acid, 4-(dimethylamino)-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

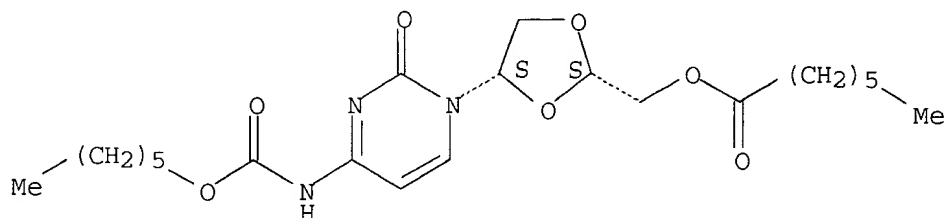
09/976,249

Absolute stereochemistry.
Double bond geometry as shown.



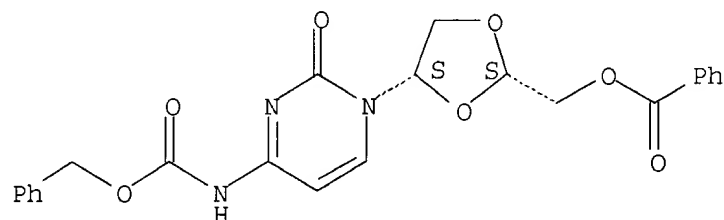
RN 408343-96-4 CAPLUS
CN Heptanoic acid, [(2S,4S)-4-[4-[[[hexyloxy]carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 408344-02-5 CAPLUS
CN Carbamic acid, [1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

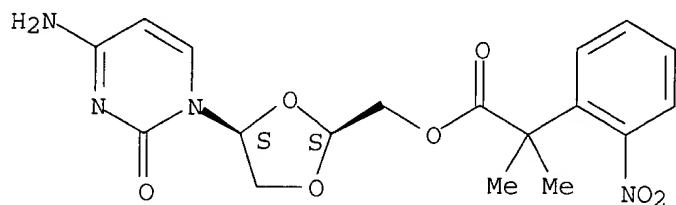
Absolute stereochemistry.



RN 408344-06-9 CAPLUS
CN Benzeneacetic acid, .alpha.,.alpha.-dimethyl-2-nitro-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

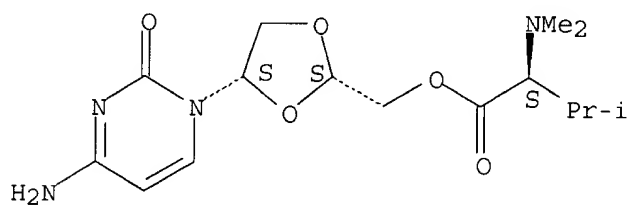
09/976,249



RN 408344-08-1 CAPLUS

CN L-Valine, N,N-dimethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

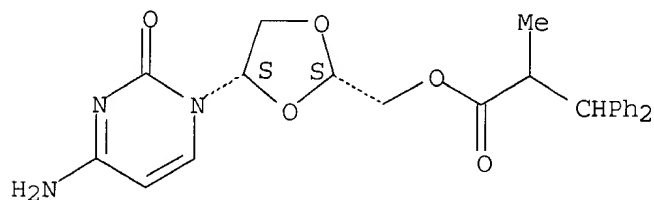
Absolute stereochemistry.



RN 408344-10-5 CAPLUS

CN Benzenepropanoic acid, .alpha.-methyl-.beta.-phenyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

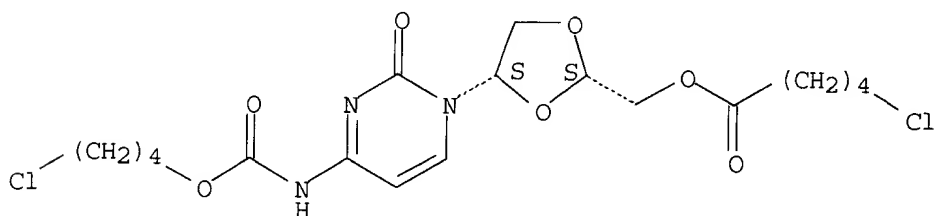
Absolute stereochemistry.



RN 408344-16-1 CAPLUS

CN Pentanoic acid, 5-chloro-, [(2S,4S)-4-[4-[[4-chlorobutoxy]carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

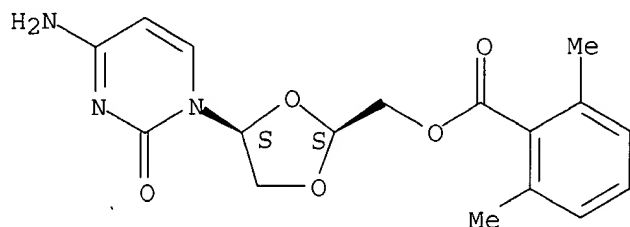


RN 408344-22-9 CAPLUS

CN Benzoic acid, 2,6-dimethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

09/976,249

Absolute stereochemistry.



● HCl

RN 408344-28-5 CAPLUS

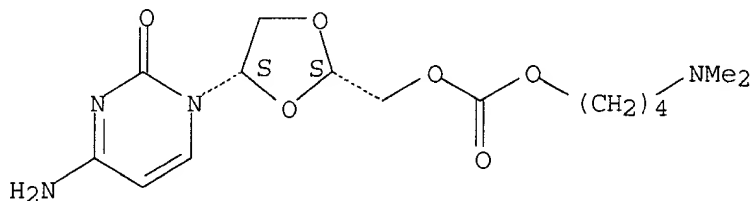
CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl 4-(dimethylamino)butyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 408344-27-4

CMF C15 H24 N4 O6

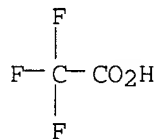
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

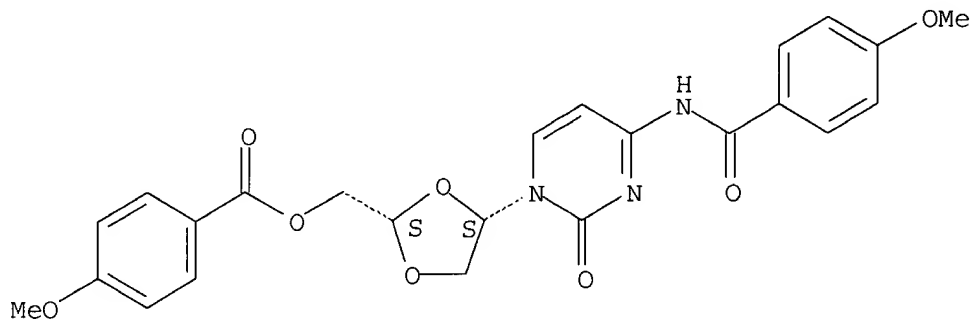


RN 408344-50-3 CAPLUS

CN Benzoic acid, 4-methoxy-, [(2S,4S)-4-[4-[(4-methoxybenzoyl)amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

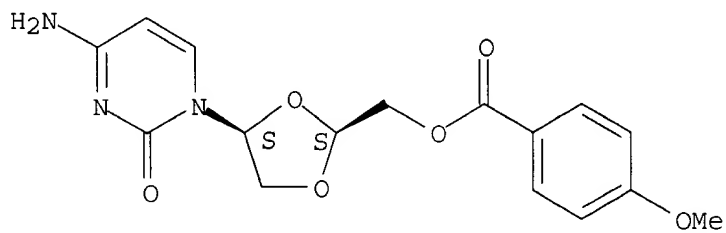
09/976,249



RN 408344-56-9 CAPLUS

CN Benzoic acid, 4-methoxy-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

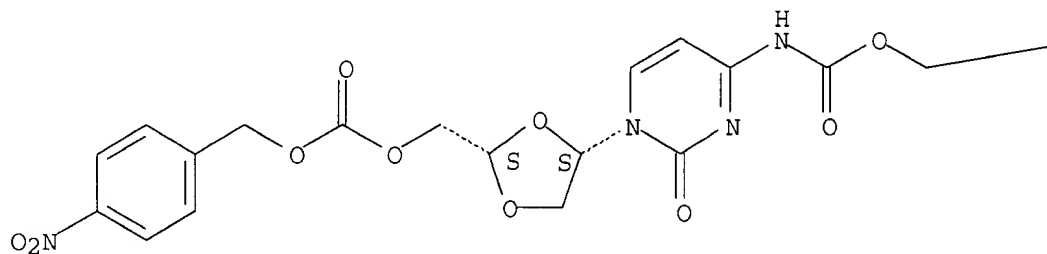


RN 408344-73-0 CAPLUS

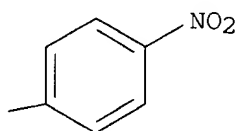
CN Carbonic acid, [(2S,4S)-4-[4-[[[(4-nitrophenyl)methoxy]carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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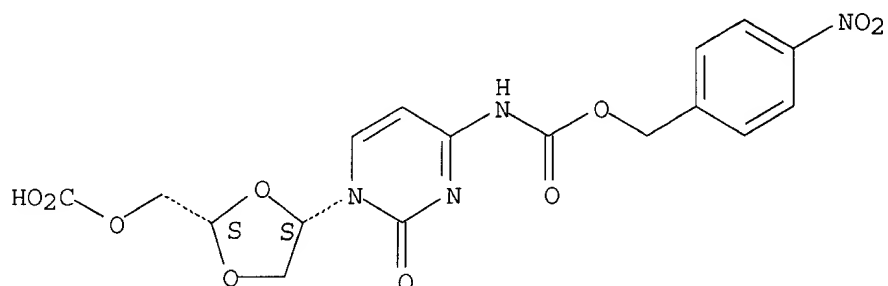
RN 408344-77-4 CAPLUS

CN Carbamic acid, [1-[(2S,4S)-2-[(carboxyoxymethyl)-1,3-dioxolan-4-yl]-1,2-

09/976,249

dihydro-2-oxo-4-pyrimidinyl]-, mono[(4-nitrophenyl)methyl] ester (9CI)
(CA INDEX NAME)

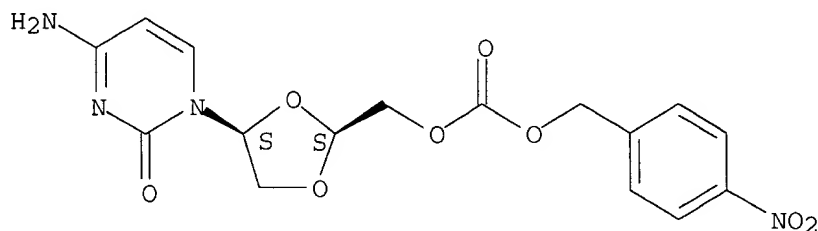
Absolute stereochemistry.



RN 408344-81-0 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl (4-nitrophenyl)methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

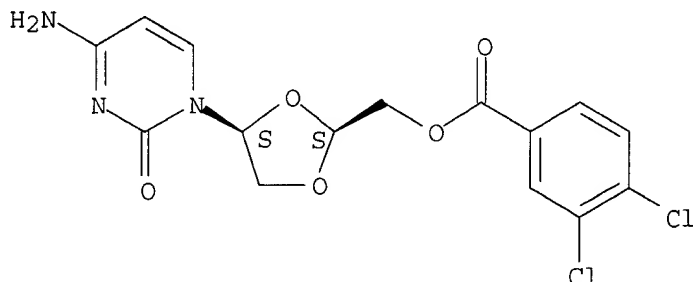


● HCl

RN 408344-91-2 CAPLUS

CN Benzoic acid, 3,4-dichloro-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

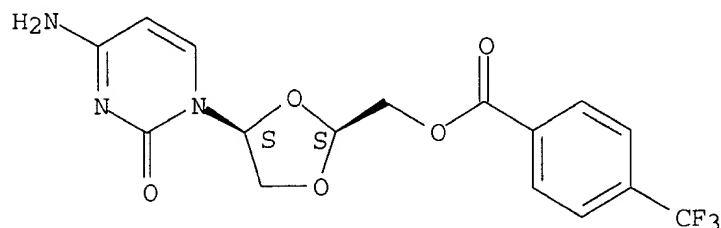


RN 408344-99-0 CAPLUS

CN Benzoic acid, 4-(trifluoromethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

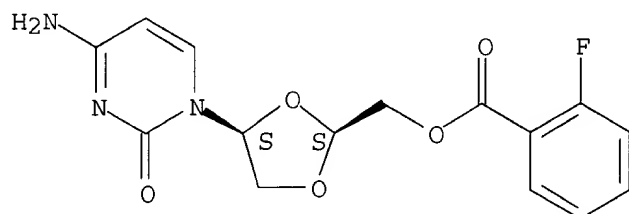
09/976,249



RN 408345-03-9 CAPLUS

CN Benzoic acid, 2-fluoro-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

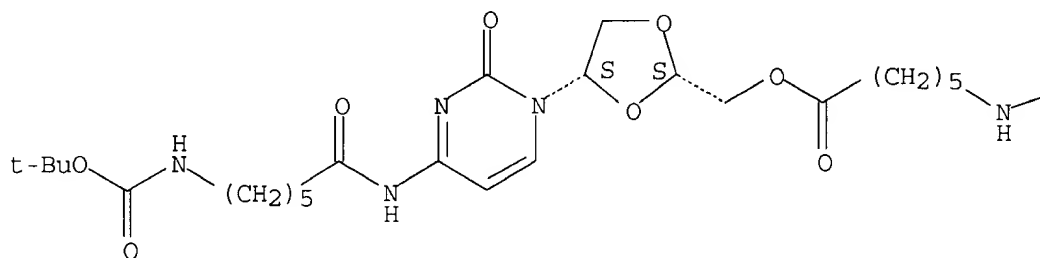


RN 408345-07-3 CAPLUS

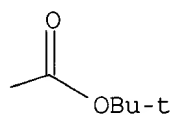
CN Hexanoic acid, 6-[[[(1,1-dimethylethoxy)carbonyl]amino]-, [(2S,4S)-4-[4-[[6-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B

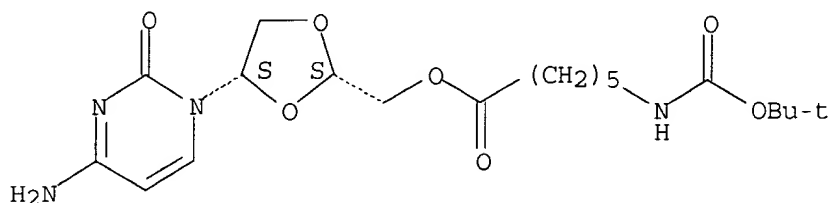


RN 408345-14-2 CAPLUS

CN Hexanoic acid, 6-[[[(1,1-dimethylethoxy)carbonyl]amino]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/976,249

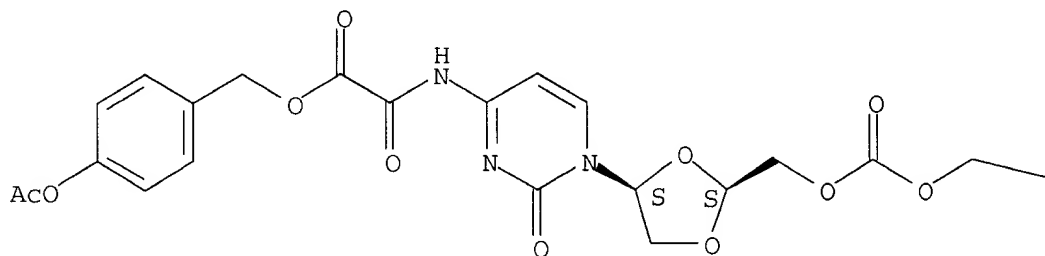


RN 408345-29-9 CAPLUS

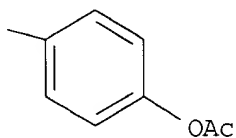
CN Acetic acid, [[1-[(2S,4S)-2-[[[[[4-(acetyloxy)phenyl]methoxy]carbonyl]oxy]methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]amino]oxo-, [4-(acetyloxy)phenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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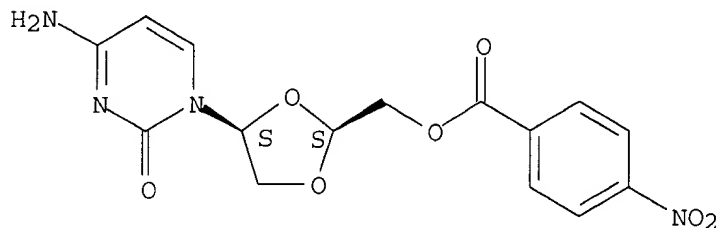
PAGE 1-B



RN 408345-34-6 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,4S)-2-[[[4-(nitrobenzoyl)oxy]methyl]-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

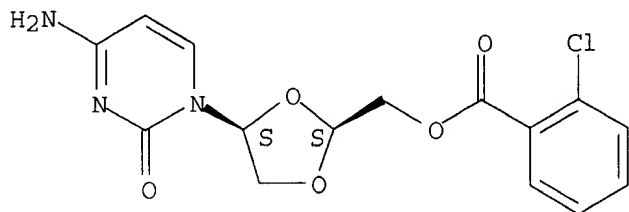


RN 408345-41-5 CAPLUS

CN Benzoic acid, 2-chloro-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

09/976,249

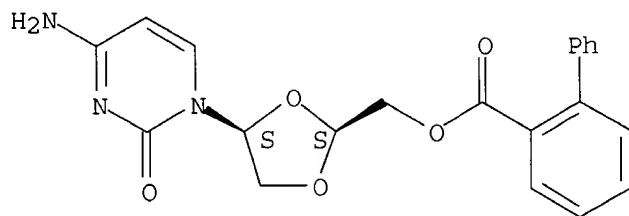
Absolute stereochemistry.



RN 408345-49-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

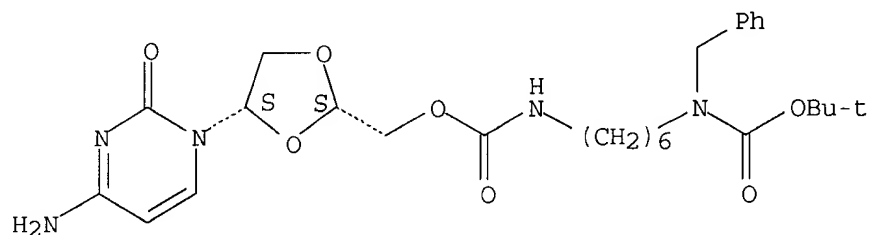
Absolute stereochemistry.



RN 408345-61-9 CAPLUS

CN Carbamic acid, [6-[[[(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methoxy]carbonyl]amino]hexyl] (phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

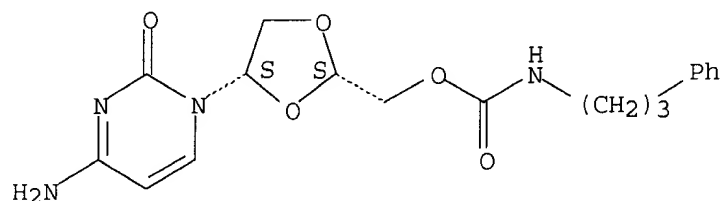
Absolute stereochemistry.



RN 408345-64-2 CAPLUS

CN Carbamic acid, (3-phenylpropyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



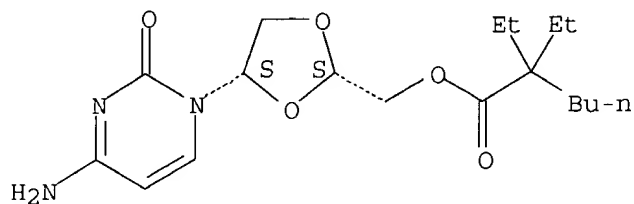
RN 408345-70-0 CAPLUS

CN Hexanoic acid, 2,2-diethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-

09/976,249

1,3-dioxolan-2-yl)methyl ester (9CI) (CA INDEX NAME)

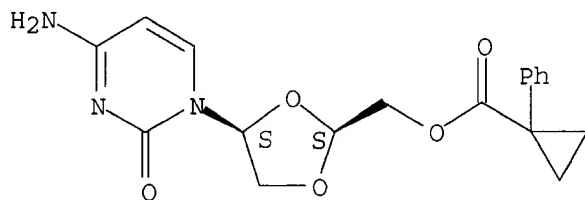
Absolute stereochemistry.



RN 408345-77-7 CAPLUS

CN Cyclopropanecarboxylic acid, 1-phenyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl)methyl ester (9CI) (CA INDEX NAME)

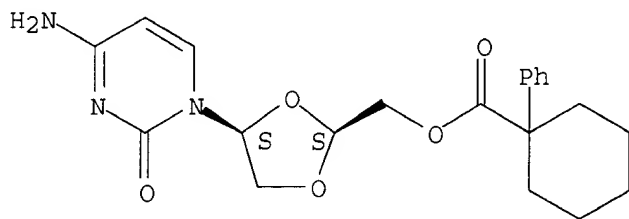
Absolute stereochemistry.



RN 408345-80-2 CAPLUS

CN Cyclohexanecarboxylic acid, 1-phenyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl)methyl ester (9CI) (CA INDEX NAME)

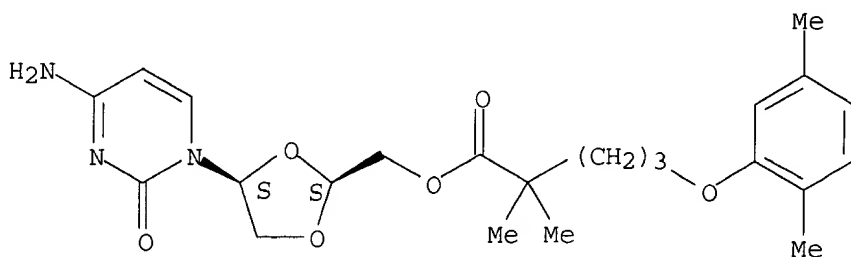
Absolute stereochemistry.



RN 408345-88-0 CAPLUS

CN Pentanoic acid, 5-(2,5-dimethylphenoxy)-2,2-dimethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

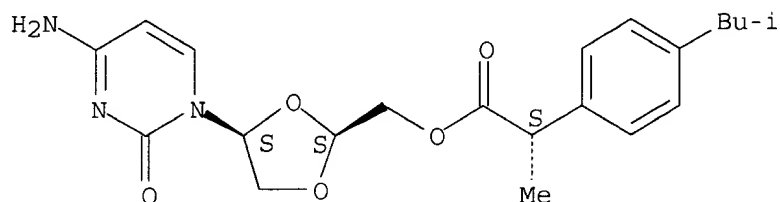


09/976,249

RN 408345-92-6 CAPLUS

CN Benzeneacetic acid, .alpha.-methyl-4-(2-methylpropyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

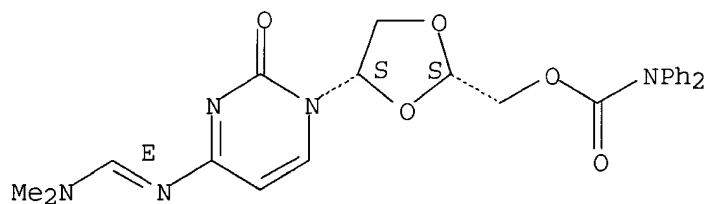
Absolute stereochemistry.



RN 408345-93-7 CAPLUS

CN Carbamic acid, diphenyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

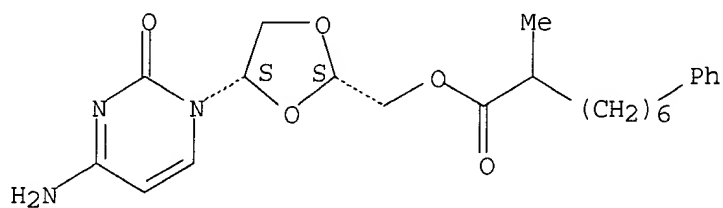
Absolute stereochemistry.
Double bond geometry as shown.



RN 408345-94-8 CAPLUS

CN Benzeneoctanoic acid, .alpha.-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

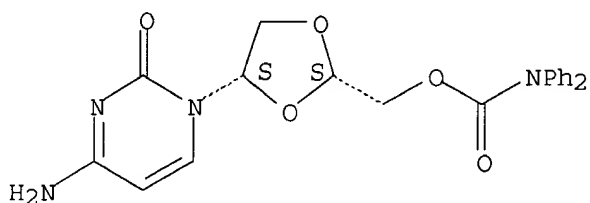
Absolute stereochemistry.



RN 408345-95-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,4S)-2-[[[(diphenylamino)carbonyl]oxy]methyl]-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

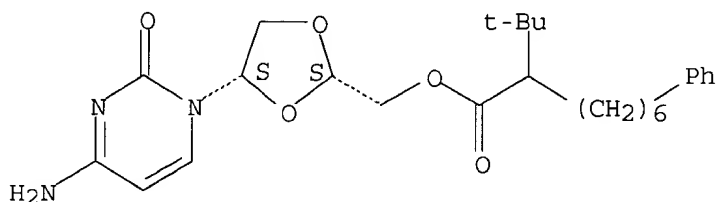


09/976,249

RN 408346-00-9 CAPLUS

CN Benzeneoctanoic acid, .alpha.-(1,1-dimethylethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

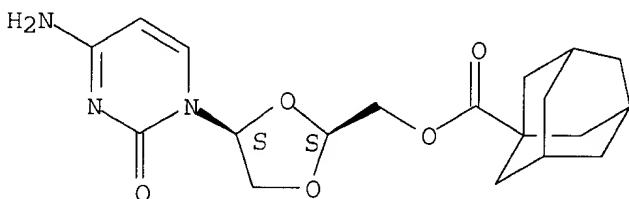
Absolute stereochemistry.



RN 408346-02-1 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxylic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

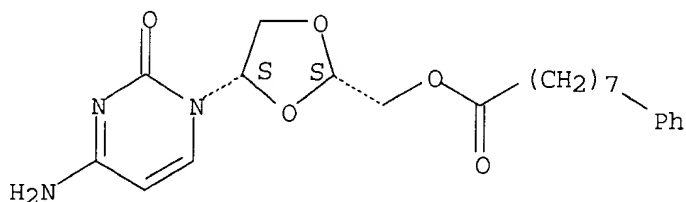


● HCl

RN 408346-03-2 CAPLUS

CN Benzeneoctanoic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

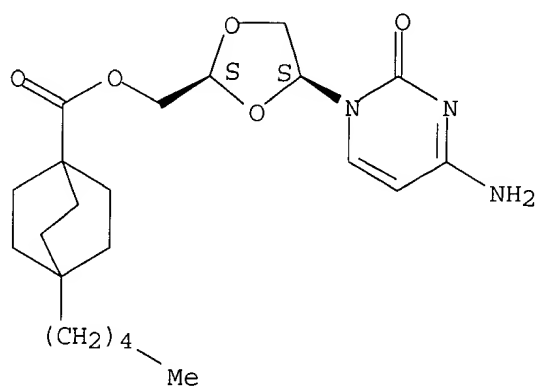


● HCl

RN 408346-04-3 CAPLUS

CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-pentyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

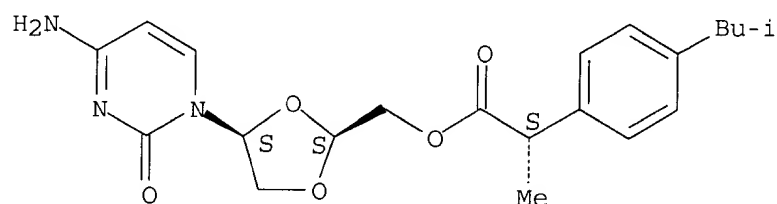


● HCl

RN 408346-05-4 CAPLUS

CN Benzeneacetic acid, .alpha.-methyl-4-(2-methylpropyl)-,
 [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl
 ester, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

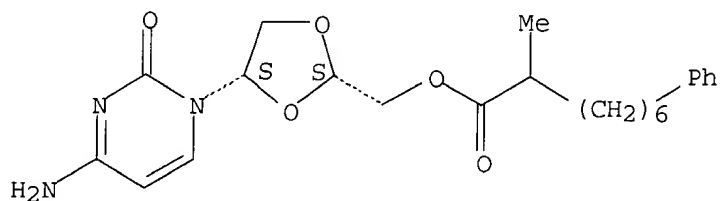


● HCl

RN 408346-06-5 CAPLUS

CN Benzeneoctanoic acid, .alpha.-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-
 pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



● HCl

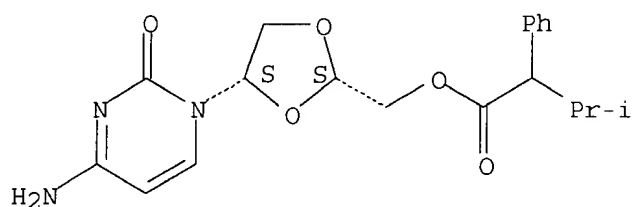
RN 408346-07-6 CAPLUS

CN Benzeneacetic acid, .alpha.-(1-methylethyl)-, [(2S,4S)-4-(4-amino-2-oxo-

09/976,249

1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

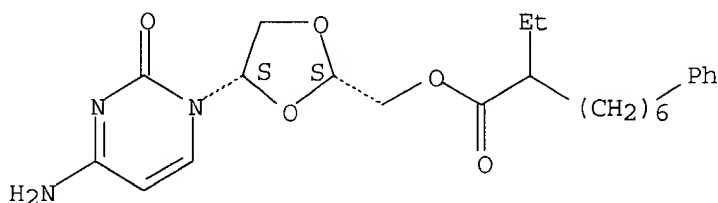
Absolute stereochemistry.



RN 408346-11-2 CAPLUS

CN Benzeneoctanoic acid, .alpha.-ethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

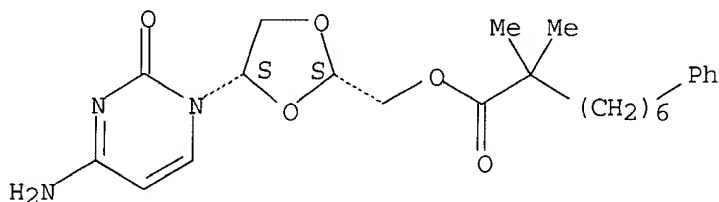
Absolute stereochemistry.



RN 408349-46-2 CAPLUS

CN Benzeneoctanoic acid, .alpha.,.alpha.-dimethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

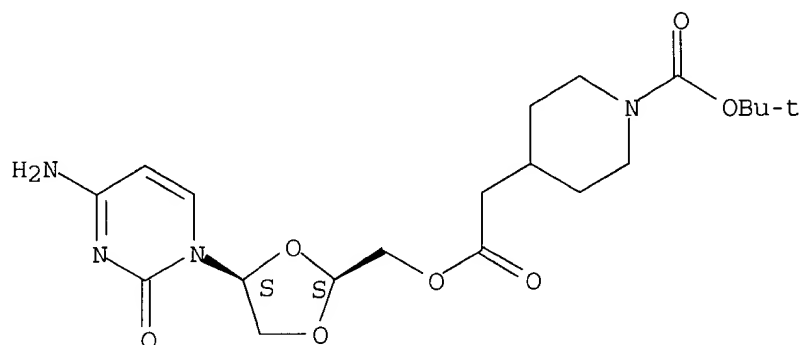
IT 408342-10-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of dioxolane nucleoside analogs for improved intercellular delivery)

RN 408342-10-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[(1,1-dimethylethoxy)carbonyl]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



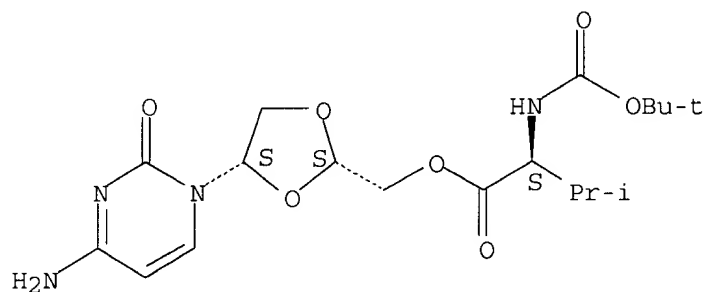
IT 408342-17-6P 408342-32-5P 408342-33-6P
 408342-39-2P 408342-52-9P 408342-58-5P
 408342-62-1P 408342-64-3P 408342-65-4P
 408342-66-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of dioxolane nucleoside analogs for improved intercellular
 delivery)

RN 408342-17-6 CAPLUS

CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, [(2S,4S)-4-(4-amino-2-oxo-
 1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

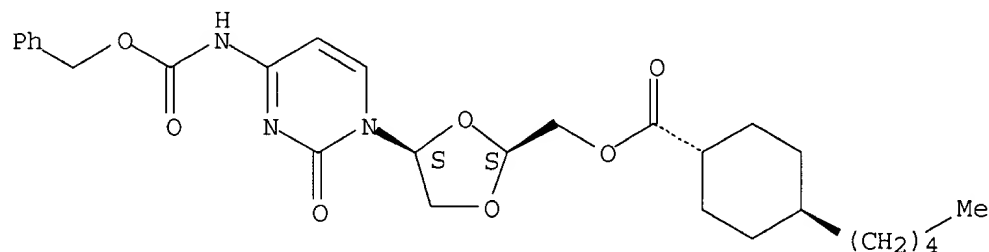
Absolute stereochemistry.



RN 408342-32-5 CAPLUS

CN Cyclohexanecarboxylic acid, 4-pentyl-, [(2S,4S)-4-[2-oxo-4-
 [(phenylmethoxy)carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-
 yl]methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

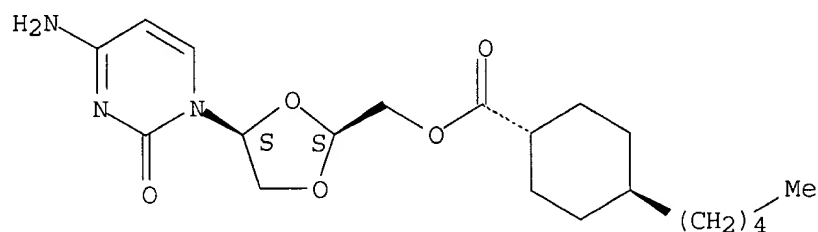


RN 408342-33-6 CAPLUS

CN Cyclohexanecarboxylic acid, 4-pentyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-
 pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, trans- (9CI) (CA INDEX NAME)

09/976,249

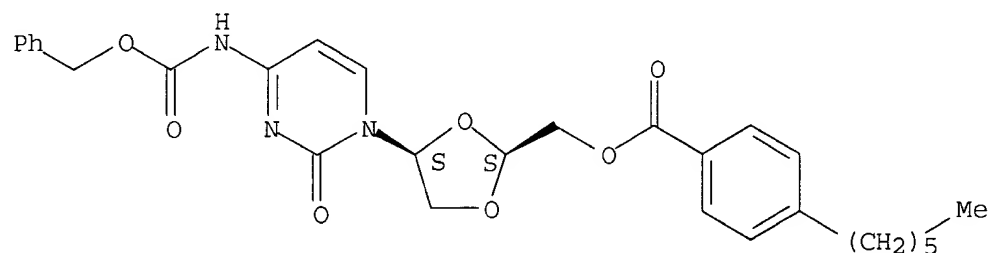
Absolute stereochemistry.



RN 408342-39-2 CAPLUS

CN Benzoic acid, 4-hexyl-, [(2S,4S)-4-[2-oxo-4-[[phenylmethoxy]carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

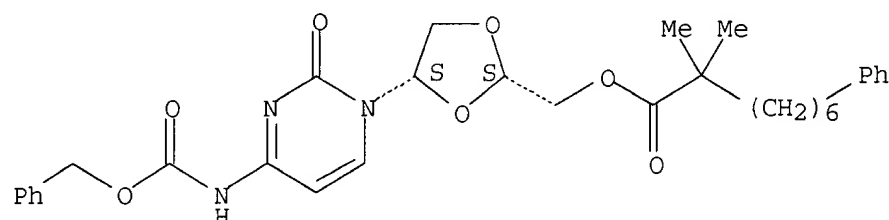
Absolute stereochemistry.



RN 408342-52-9 CAPLUS

CN Benzeneoctanoic acid, .alpha.,.alpha.-dimethyl-, [(2S,4S)-4-[2-oxo-4-[[phenylmethoxy]carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

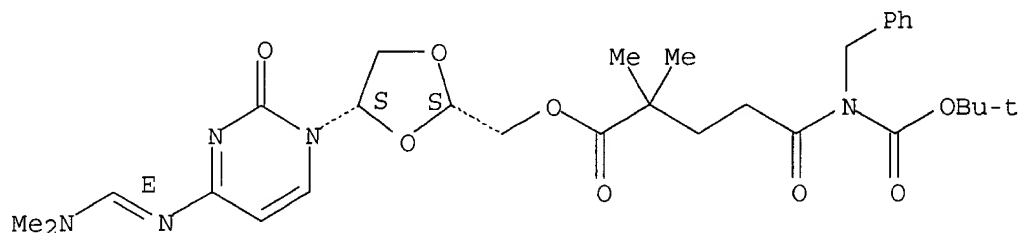


RN 408342-58-5 CAPLUS

CN Pentanoic acid, 5-[[[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]-2,2-dimethyl-5-oxo-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

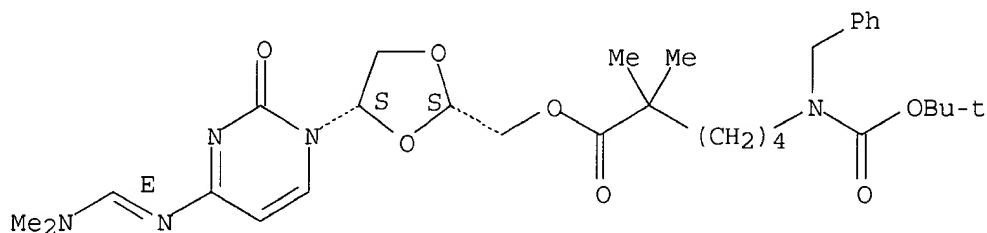
Double bond geometry as shown.



RN 408342-62-1 CAPLUS

CN Hexanoic acid, 6-[[[(1,1-dimethylethoxy)carbonyl] (phenylmethyl) amino]-2,2-dimethyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

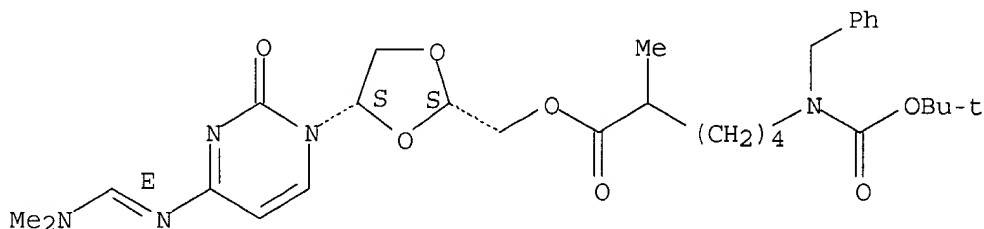
Absolute stereochemistry.
Double bond geometry as shown.



RN 408342-64-3 CAPLUS

CN Hexanoic acid, 6-[[[(1,1-dimethylethoxy)carbonyl] (phenylmethyl) amino]-2-methyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

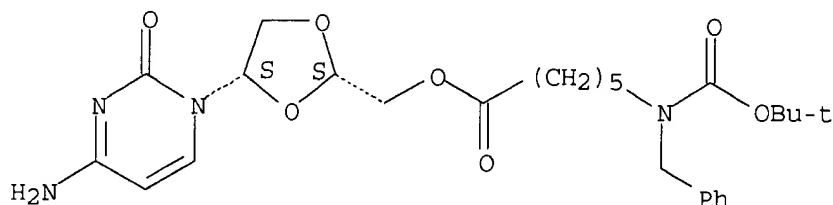
Absolute stereochemistry.
Double bond geometry as shown.



RN 408342-65-4 CAPLUS

CN Hexanoic acid, 6-[[[(1,1-dimethylethoxy)carbonyl] (phenylmethyl) amino]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

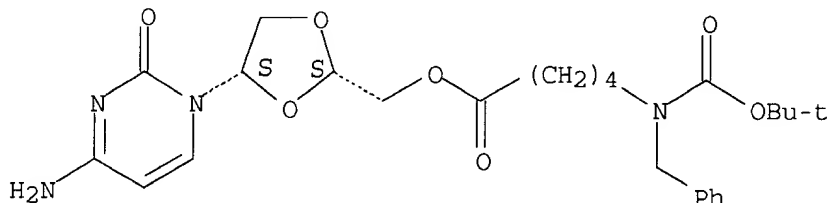
Absolute stereochemistry.



09/976,249

RN 408342-66-5 CAPLUS
CN Pentanoic acid, 5-[[[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



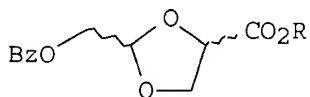
L5 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:597981 CAPLUS
DOCUMENT NUMBER: 135:167014
TITLE: Stereoselective synthesis of dioxolane nucleoside analogs via enzymic hydrolysis
INVENTOR(S): Cimpoia, Alex; Wang, Yi-fong
PATENT ASSIGNEE(S): Biochem Pharma Inc., Can.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058894	A1	20010816	WO 2001-CA117	20010202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1265890	A1	20021218	EP 2001-903534	20010202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2002096635	A1	20020117	US 2001-779853	20010209
US 6541625	B2	20030401		

PRIORITY APPLN. INFO.:

US 2000-181977P (P 20000211
WO 2001-CA117 W 20010202

OTHER SOURCE(S): CASREACT 135:167014; MARPAT 135:167014
GI



I

AB The invention is a process for stereoselectively producing a dioxolane nucleoside analog from an anomeric mixt. of .beta. and .alpha. anomers I, wherein R is selected from the group consisting of C1-6 alkyl and C6-15 aryl. The process comprises hydrolyzing said mixt. with an enzyme selected from the group consisting of protease N, alcalase, savinase, ChiroCLEC-BL, PS-30, and ChiroCLEC-PC to stereoselectively hydrolyze predominantly one anomer to form a product wherein R is replaced with H. The process also includes the step of sepg. the product from unhydrolyzed starting material. Addnl., the functional group at the C4 position is stereoselectively replaced with a purinyl or pyrimidinyl or deriv. thereof. Enzyme catalyzed hydrolytic resoln. of 2-(S)-benzoyloxymethyl-4-carboxylic acid-1,3-dioxolane Me ester using savinase gave 2-(S)-benzoyloxymethyl-4-(S)-carboxylic acid-1,3-dioxolanemethyl ester with greater than 98% anomeric purity. Thus, 2-(S)-Benzoyloxymethyl-1,3-dioxolan-4-(S)-yl-2-oxo-4-aminoacetylpyrimidine was prepd. via coupling of 2S-benzoyloxymethyl-acetoxy-1,3-dioxolane with persilylated N-acetylcytosine.

IT **145414-63-7P 354570-97-1P**

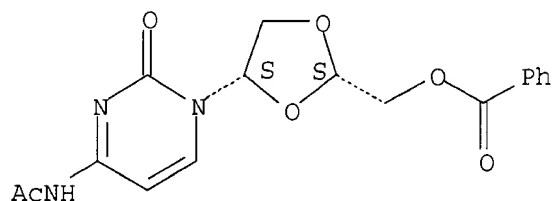
RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(stereoselective synthesis of dioxolane nucleoside analogs via enzymic hydrolysis)

RN 145414-63-7 CAPLUS

CN Acetamide, N-[1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

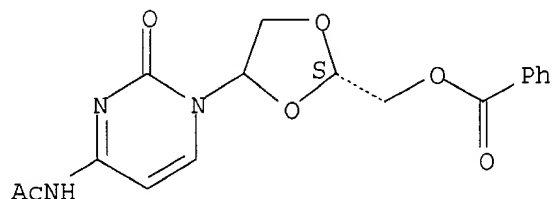
Absolute stereochemistry. Rotation (-).



RN 354570-97-1 CAPLUS

CN Acetamide, N-[1-[(2S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **145416-35-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

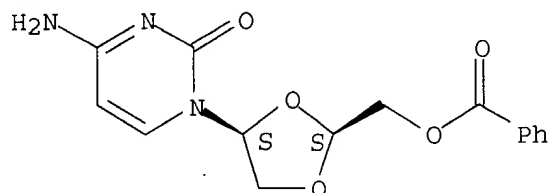
(stereoselective synthesis of dioxolane nucleoside analogs via enzymic hydrolysis)

RN 145416-35-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/976,249



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:78180 CAPLUS

DOCUMENT NUMBER: 134:147438

TITLE: Preparation of 5-(E)-bromovinyl uracil analogs and related pyrimidine nucleosides as antiviral agents
INVENTOR(S): Cheng, Yung-Chi; Chu, Chung K.; Li, Ling; Choi, Yongseok

PATENT ASSIGNEE(S): Yale University, USA; The University of Georgia Research Foundation, Inc.

SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2001006986 A2 20010201 WO 2000-US19881 20000720

WO 2001006986 A3 20011025

W: AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2000062288 A5 20010213 AU 2000-62288 20000720

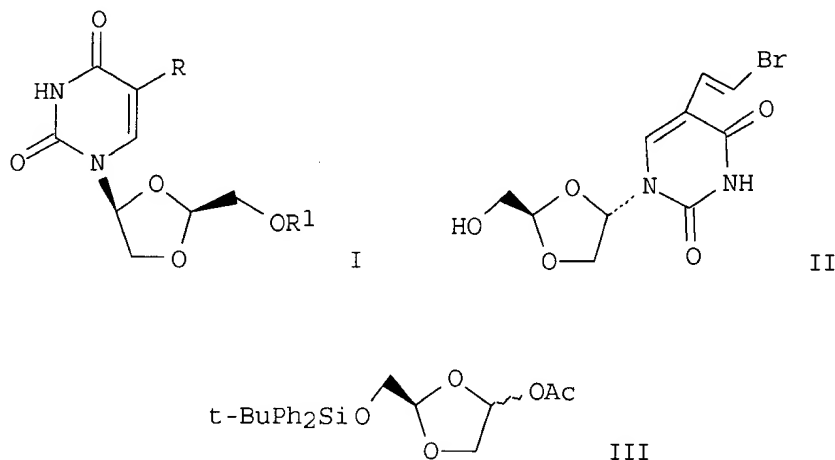
EP 1204415 A2 20020515 EP 2000-948851 20000720

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

PRIORITY APPLN. INFO.: US 1999-358028 A 19990721
WO 2000-US19881 W 20000720

OTHER SOURCE(S): MARPAT 134:147438

GI



AB Pyrimidine nucleosides I (R = C.tplbond.CH, Et, (E)-CH=CHX, (Z)-CH=CHX; X = H, F, Br, Cl, I, Me; R1 = H, Cl to C20 acyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group) were prepd. for treatment of viral infections of Varicella Zoster Virus, Epstein Barr Virus and Kaposi's Sarcoma virus (HV-8), and related complications of these viral infections. Thus, II was prepd. with a yield of 90% from (E)-bromovinyluracil and III. The antiviral activity of II showed an IC50 (.mu.M) of >30 against VZV and >50 against HSV-1 and a cytotoxicity (.mu.M) of >100. The use of one or more nucleosides to increase the retention or half-life of 5-fluorouracil in patients is described.

IT 323193-71-1P 323193-72-2P 323193-74-4P

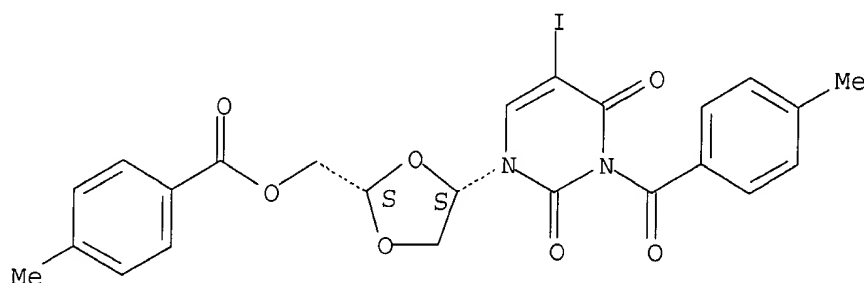
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 5-(E)-(bromovinyl)uracil analogs and related pyrimidine nucleosides as antiviral agents)

RN 323193-71-1 CAPLUS

CN Benzoic acid, 4-methyl-, [(2S,4S)-4-[3,4-dihydro-5-iodo-3-(4-methylbenzoyl)-2,4-dioxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

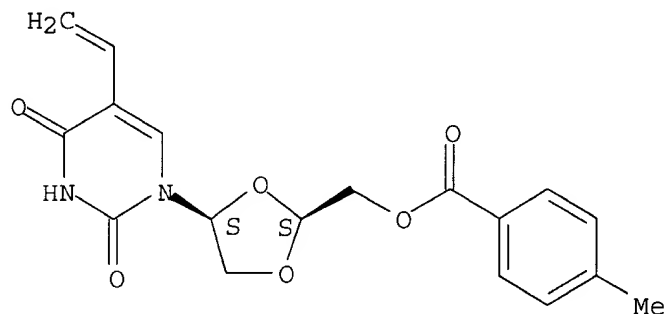


RN 323193-72-2 CAPLUS

CN Benzoic acid, 4-methyl-, [(2S,4S)-4-(5-ethenyl-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

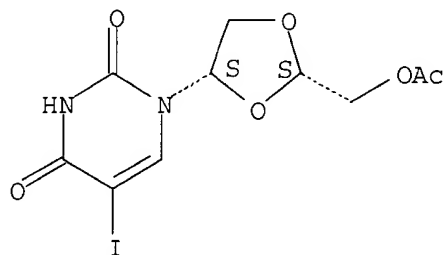
Absolute stereochemistry.

09/976,249



RN 323193-74-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,4S)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-iodo- (9CI) (CA INDEX NAME)

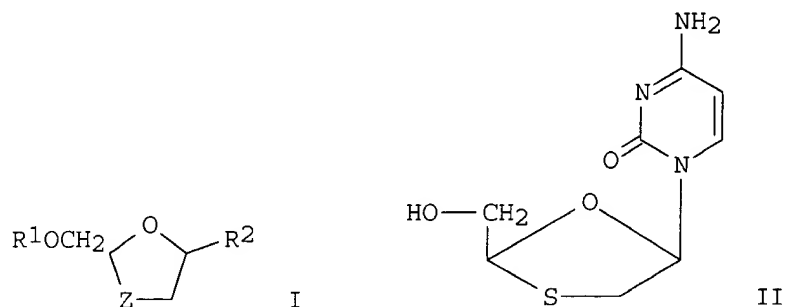
Absolute stereochemistry. Rotation (+).



L5 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:658075 CAPLUS
DOCUMENT NUMBER: 135:211232
TITLE: Preparation of substituted-1,3-oxathiolane and substituted-1,3-dioxolane nucleosides with antiviral properties
INVENTOR(S): Belleau, Bernard; Belleau, Pierette; Nguyen-ba, Nghe
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S. Ser. No. 306,830.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001020026	A1	20010906	US 1998-172848	19981015
US 6350753	B1	20020226	US 1998-163374	19980930
US 2003087918	A1	20030508	US 2002-73116	20020212
PRIORITY APPLN. INFO.:			US 1990-564160	B1 19900807
			US 1994-306830	A2 19940915
			US 1988-179615	B1 19880411
			US 1989-308101	A2 19890208
			US 1990-546676	A2 19900629
			US 1991-666045	A3 19910307
			US 1998-163374	A1 19980930

OTHER SOURCE(S): MARPAT 135:211232
GI



AB Disclosed are compds. I wherein R1 is hydrogen or an acyl group having 1 to 16 carbon atoms; R2 is a purine or pyrimidine base or an analog or deriv. thereof; Z is O, S, S=O or SO₂; and pharmaceutically acceptable derivs. thereof. Also described are processes for and intermediates of use in their prepn., pharmaceutical compns. contg. these compds., and the use of these compds. in the antiviral treatment of mammals. Thus, oxathiolane nucleoside II was prepd. and tested for its anti-HIV-1 activity in MT-4 cells (EC₅₀ = 87.4 .mu.g/mL).

IT **126652-55-9P 126652-56-0P**

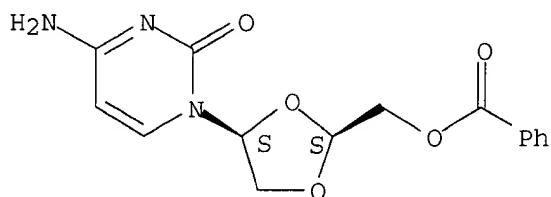
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted-1,3-oxathiolane and substituted-1,3-dioxolane nucleosides with antiviral properties)

RN 126652-55-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2R,4R)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-, rel- (9CI) (CA INDEX NAME)

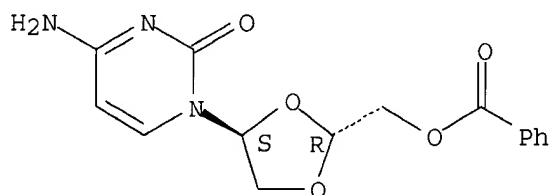
Relative stereochemistry.



RN 126652-56-0 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2R,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



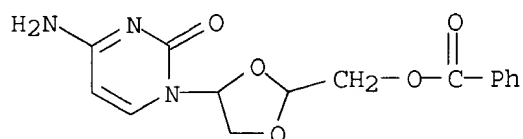
IT **126652-31-1P 126652-45-7P 126652-46-8P**
126652-51-5P 126652-52-6P

09/976,249

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted-1,3-oxathiolane and substituted-1,3-dioxolane nucleosides with antiviral properties)

RN 126652-31-1 CAPLUS

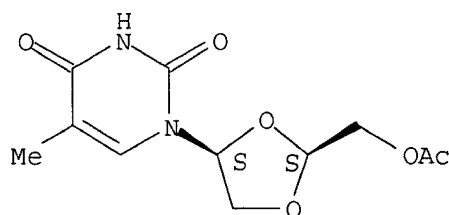
CN 2(1H)-Pyrimidinone, 4-amino-1-[2-[(benzyloxy)methyl]-1,3-dioxolan-4-yl]-
(9CI) (CA INDEX NAME)



RN 126652-45-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, rel- (9CI) (CA INDEX NAME)

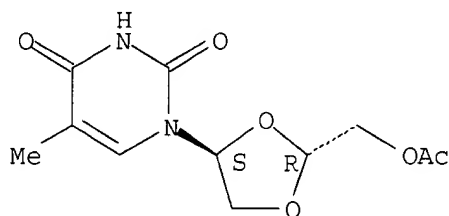
Relative stereochemistry.



RN 126652-46-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, rel- (9CI) (CA INDEX NAME)

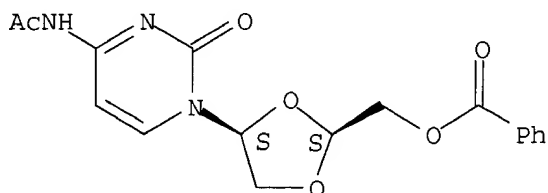
Relative stereochemistry.



RN 126652-51-5 CAPLUS

CN Acetamide, N-[1-[(2R,4R)-2-[(benzyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

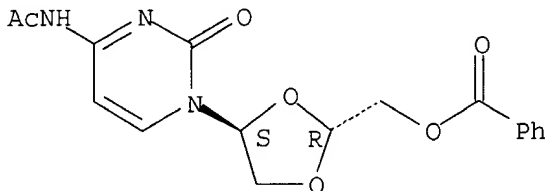


RN 126652-52-6 CAPLUS

09/976,249

CN Acetamide, N-[1-[(2R,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:322909 CAPLUS

DOCUMENT NUMBER: 131:110898

TITLE: Structure-Activity Relationships of L-Dioxolane Uracil Nucleosides as Anti-Epstein Barr Virus Agents

AUTHOR(S): Lin, Ju-Sheng; Kira, Toshihiko; Gullen, Elizabeth; Choi, Yongseok; Qu, Fucheng; Chu, Chung K.; Cheng, Yung-Chi

CORPORATE SOURCE: Department of Pharmacology, Yale University School of Medicine, New Haven, CT, 06510, USA

SOURCE: Journal of Medicinal Chemistry 1999, 42(12), 2212-2217

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of 1,3-dioxolanyluracil analogs was prepd. from the dioxolane intermediates and their anti-Epstein Barr virus (anti-EBV) activities were detd. The potency of L-dioxolane uracil nucleosides against EBV replication is dependent on the substituents at the 5-position in the following decreasing order: I > Br > Cl > CH₃ > CF₃ > F. The most active and selective analog was the iodo deriv. (L-I-OddU) with an EC₅₀ value of 0.03 .mu.M and an EC₉₀ value of 0.16 .mu.M. There was no cytotoxicity or depletion of mitochondrial DNA in cells after exposure to L-I-OddU at 50 .mu.M. The action against EBV replication in H1 cells is time-dependent, and EBV DNA in cells treated with L-I-OddU could rebound to pretreatment levels once the drug was removed. In view of the potent antiviral activity plus favorable toxicity profiles, L-I-OddU may be potentially useful for the treatment of EBV-related infectious diseases as well as for delaying the onset or decreasing the incidence of EBV-assocd. cancers.

IT 232282-95-0P 232282-98-3P 232282-99-4P

232283-00-0P 232283-01-1P 232283-03-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

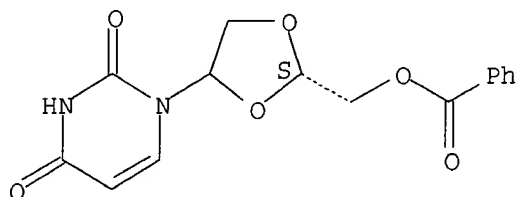
(prepn. and structure-activity relationships of L-dioxolane uracil nucleosides as anti-Epstein Barr virus agents)

RN 232282-95-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

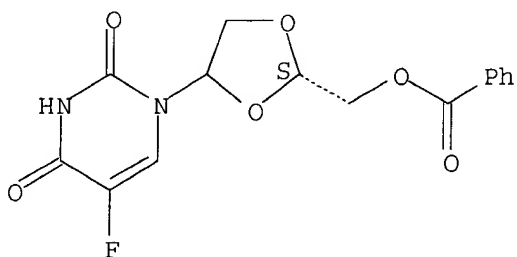
09/976,249



RN 232282-98-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-[(benzyloxy)methyl]-1,3-dioxolan-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)

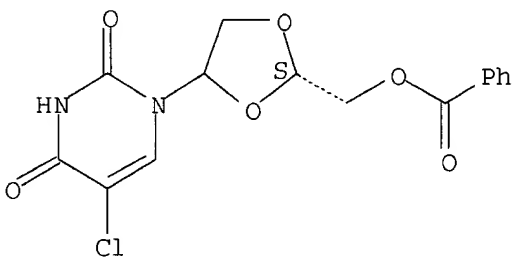
Absolute stereochemistry.



RN 232282-99-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-[(benzyloxy)methyl]-1,3-dioxolan-4-yl]-5-chloro- (9CI) (CA INDEX NAME)

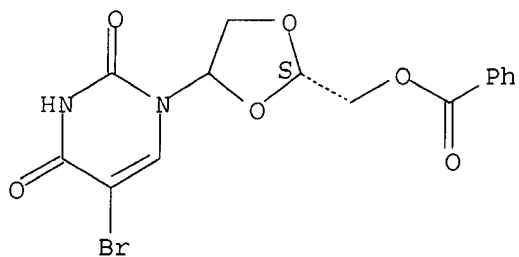
Absolute stereochemistry.



RN 232283-00-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-[(benzyloxy)methyl]-1,3-dioxolan-4-yl]-5-bromo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

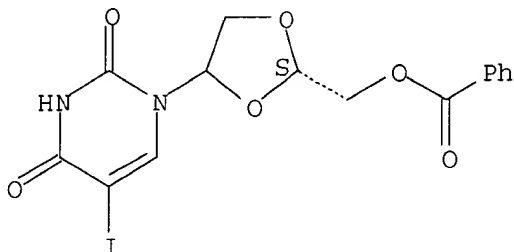


RN 232283-01-1 CAPLUS

09/976,249

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-iodo- (9CI) (CA INDEX NAME)

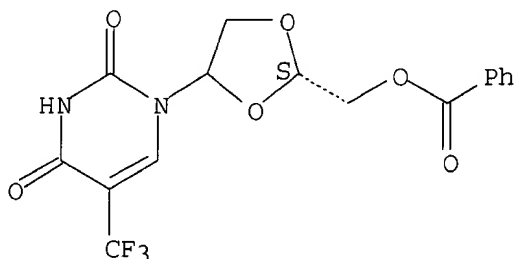
Absolute stereochemistry.



RN 232283-03-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:650036 CAPLUS

DOCUMENT NUMBER: 129:285981

TITLE: Cytosine compounds and methods for the treatment of cancer

INVENTOR(S): Chu, Chung K.; Cheng, Yung-Chi

PATENT ASSIGNEE(S): University of Georgia Research Foudation, USA; Yale University

SOURCE: U.S., 16 pp., Cont.-in-part of U.S. Ser. No. 937,845.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817667	A	19981006	US 1994-301298	19940906
IL 115156	A1	20000716	IL 1995-115156	19950904
CA 2199117	AA	19960314	CA 1995-2199117	19950905
WO 9607413	A1	19960314	WO 1995-US11464	19950905

W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, US, UZ, VN
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,

SN, TD, TG

AU 9535862	A1	19960327	AU 1995-35862	19950905
AU 704977	B2	19990513		
EP 781136	A1	19970702	EP 1995-933071	19950905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1160351	A	19970924	CN 1995-195621	19950905
BR 9508886	A	19971230	BR 1995-8886	19950905
HU 77172	A2	19980302	HU 1997-1687	19950905
JP 10506385	T2	19980623	JP 1995-509705	19950905
RU 2168995	C2	20010620	RU 1997-105381	19950905
ZA 9507483	A	19970606	ZA 1995-7483	19950906
FI 9700918	A	19970502	FI 1997-918	19970304
BG 63122	B1	20010430	BG 1997-101284	19970305
US 6063787	A	20000516	US 1998-809007	19980126
NZ 335013	A	20000728	NZ 1999-335013	19990401
PRIORITY APPLN. INFO.:			US 1992-937845	A2 19921019
			US 1994-301298	A 19940906
			US 1995-390633	A 19950217
			WO 1995-EP11464	W 19950905
			WO 1995-US11464	W 19950905
			NZ 1999-293422	A1 19990401

OTHER SOURCE(S): MARPAT 129:285981

AB (-)-(2S,4S)-1-(2-Hydroxymethyl-1,3-dioxolan-4-yl)cytosine (also referred to as (-)-OddC; prepn. described) and related compds., and use thereof to treat cancer in animals, including humans, are disclosed.

IT **145414-63-7P 145414-64-8P**

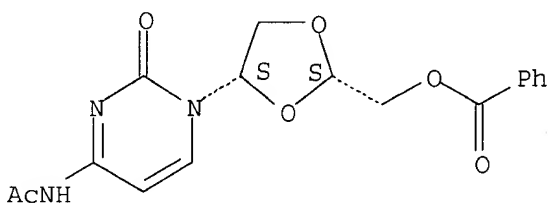
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction; cytosine compds. and methods for the treatment of cancer)

RN 145414-63-7 CAPLUS

CN Acetamide, N-[1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

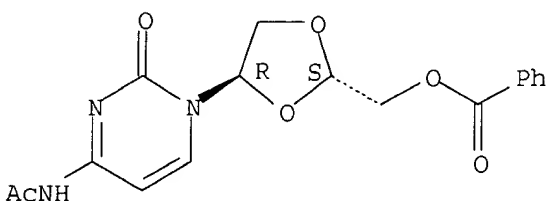
Absolute stereochemistry. Rotation (-).



RN 145414-64-8 CAPLUS

CN Acetamide, N-[1-[(2S,4R)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

115

THERE ARE 115 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:396341 CAPLUS

DOCUMENT NUMBER: 127:81720

TITLE: Syntheses and antiviral activities of 1,3-dioxolanyl-, 1,3-oxathiolanyl- and 1,3-dithiolanylnucleosides with 2-hydroxymethyl substituents

AUTHOR(S): Chun, Moon Woo; Shin, Dae Hong; Moon, Hyung Ryong; Lee, Jeewoo; Park, Hokoon; Jeong, Lak Shin

CORPORATE SOURCE: College of Pharmacy, Seoul National University, Seoul, 151-742, S. Korea

SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(11), 1475-1480

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Novel 1,3-dioxolanyl-, 1,3-oxathiolanyl- and 1,3-dithiolanylnucleosides with 2-hydroxymethyl substituents were each synthesized with good yields through the condensation of dinucleophiles (oxygen and/or sulfur) with 1,3-dibenzoxy-2-propanone Me ketal as a key step.

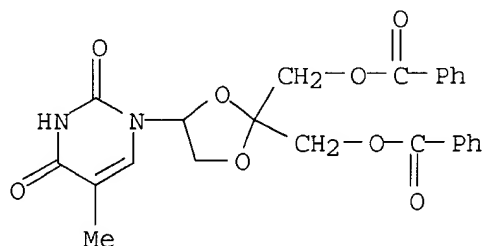
IT **191859-43-5P 191859-44-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and antiviral activities of dioxolanyl, oxathiolanyl and dithiolanylnucleosides with hydroxymethyl substituents)

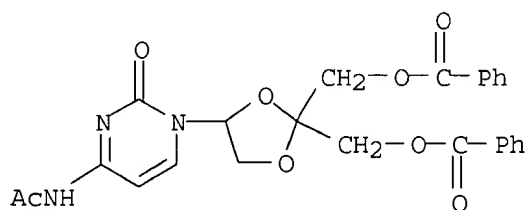
RN 191859-43-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,2-bis[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl- (9CI) (CA INDEX NAME)



RN 191859-44-6 CAPLUS

CN Acetamide, N-[1-[2,2-bis[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:241310 CAPLUS

DOCUMENT NUMBER: 122:122552

TITLE: Inhibitory activities of herpes simplex viruses type 1

and 2 and human cytomegalovirus by stereoisomers of 1'-deoxy-3'-oxa-5(E)-(2-bromovinyl)uridines and their 4'-thio analogs

AUTHOR(S): Bednarski, Krzysztof; Dixit, Dilip M.; Wang, Wei; Evans, Colleen A.; Jin, Haolun; Yuen, Leonard; Mansour, Tarek S.

CORPORATE SOURCE: BioChem Therapeutic Inc., Laval, QC, H7V 4Z7, Can.

SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(22), 2667-72

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Two series of optically pure 2,4-disubstituted 1,3-dioxolanes and 1,3-oxathiolane nucleosides contg. (E)-5-(2-bromovinyl)uracil were synthesized and assayed for activity against HSV-1, HSV-2 and HCMV replication in vitro. The B-L-(2S,4S) dioxolane nucleoside 10 displayed significant activity against HSV-1, whereas the B-D (2R,4R) oxathiolane 14 demonstrated potent activity against HSV-2. The .alpha.-L (2S,4R) diololane 11 and oxathiolane 17 were moderately active against HSV-1 and HSV-2, resp.

IT 160916-26-7P 161059-78-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

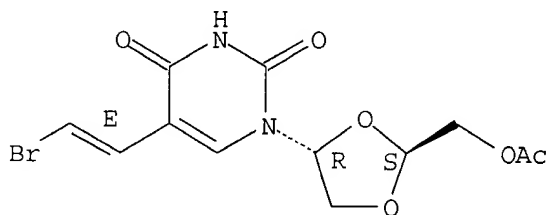
(inhibitory activities of herpes simplex viruses type 1 and 2 and human cytomegalovirus by stereoisomers of deoxy(2-bromovinyl)uridines and their thio analogs)

RN 160916-26-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-(2-bromoethenyl)-, [2S-[2.alpha.,4.beta.(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

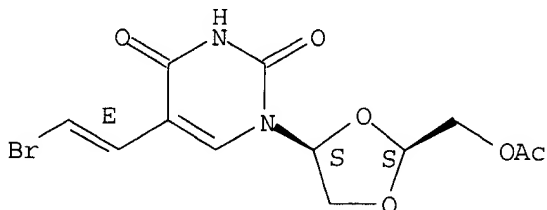


RN 161059-78-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-(2-bromoethenyl)-, [2S-[2.alpha.,4.alpha.(E)]]- (9CI) (CA INDEX NAME)

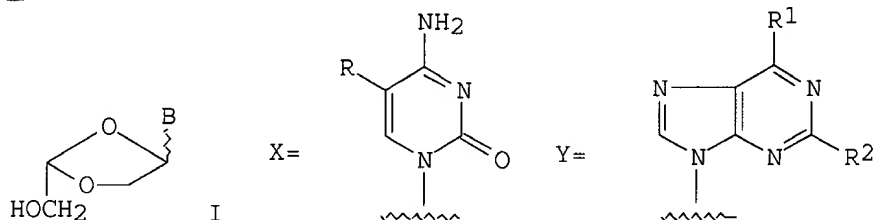
Absolute stereochemistry.

Double bond geometry as shown.



09/976,249

DOCUMENT NUMBER: 118:213427
TITLE: L-.beta.-(2S,4S)- and L-.alpha.-(2S,4R)-dioxolanyl nucleosides as potential anti-HIV agents: asymmetric synthesis and structure-activity relationships
AUTHOR(S): Kim, Hea O.; Schinazi, Raymond F.; Shanmuganathan, Kirupathevy; Jeong, Lak S.; Beach, J. Warren; Nampalli, Satyanarayana; Cannon, Deborah L.; Chu, Chung K.
CORPORATE SOURCE: Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA
SOURCE: Journal of Medicinal Chemistry (1993), 36(5), 519-28
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:213427



AB Various enantiomerically pure L-(2S,4S)- and L-(2S,4R)-dioxolanyl nucleosides, e.g. I (B = X, Y, R = H, Me, halo, R1 = Cl, NH2, OMe, R2 = H; R1= NH2, R2 = Cl, NH2), have been prepd. and evaluated against HIV-1 in human peripheral blood mononuclear (PBM) cells. Among the compd. synthesized, (-)-(2S,4S)-I (B = X, R = F) was the most potent anti-HIV activity (EC50 = 0.0012 .mu.M) although it was toxic (EC50 = 10.0 .mu.M). It is interesting to note that (+)-(2S,4R)-I (B = X, R = F) exhibited an excellent anti-HIV activity (EC50 = 0.063 .mu.M) without cytotoxicity up to 100 .mu.M in PBM cell.

IT 145354-83-2P 145354-84-3P 146996-25-0P
146996-26-1P 146996-27-2P 146996-28-3P
146996-29-4P 146996-30-7P 146996-31-8P
146996-32-9P 146996-33-0P 146996-34-1P
146996-35-2P 146996-36-3P

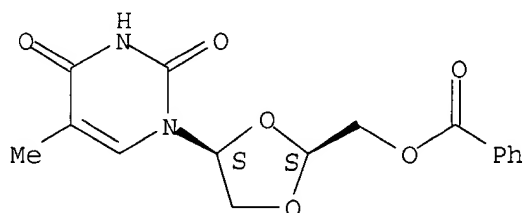
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and debenzoylation of)

RN 145354-83-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



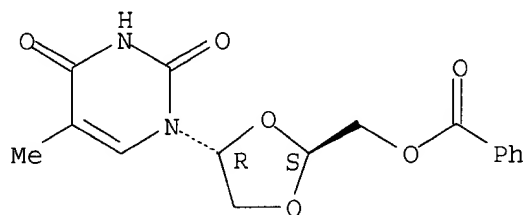
RN 145354-84-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-

09/976,249

methyl-, (2S-trans)- (9CI) (CA INDEX NAME)

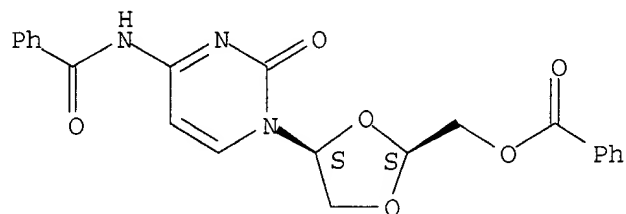
Absolute stereochemistry.



RN 146996-25-0 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

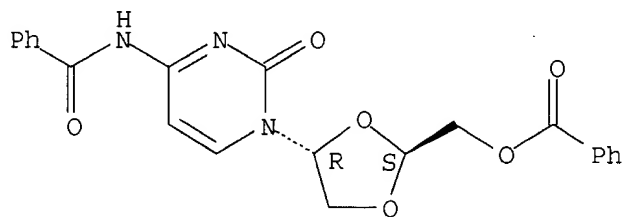
Absolute stereochemistry.



RN 146996-26-1 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

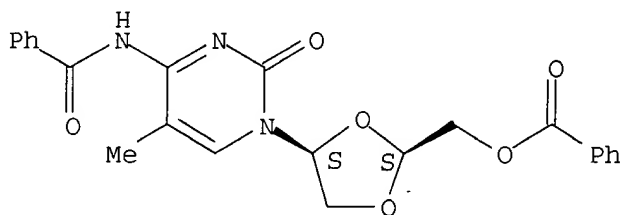
Absolute stereochemistry.



RN 146996-27-2 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-5-methyl-2-oxo-4-pyrimidinyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

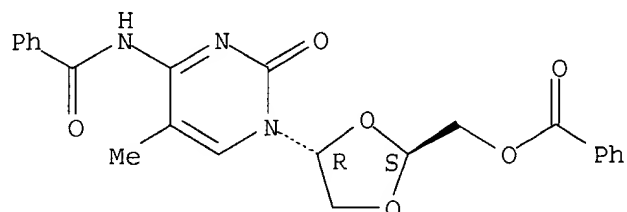


RN 146996-28-3 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-5-methyl-2-oxo-4-pyrimidinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

09/976,249

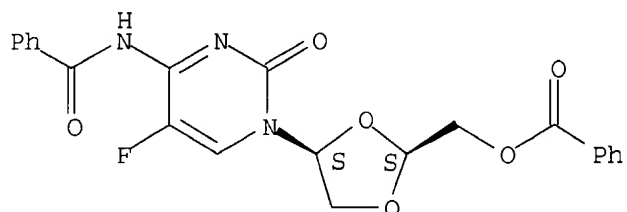
Absolute stereochemistry.



RN 146996-29-4 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-fluoro-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

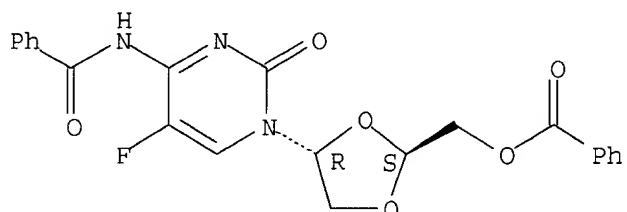
Absolute stereochemistry.



RN 146996-30-7 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-fluoro-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

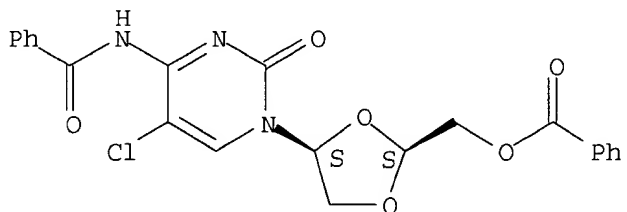
Absolute stereochemistry.



RN 146996-31-8 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-chloro-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

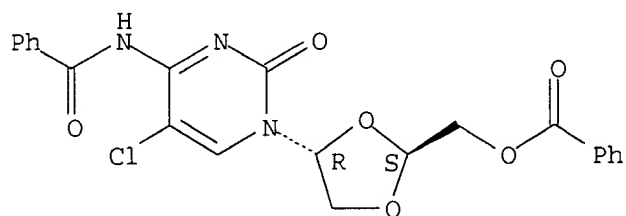


RN 146996-32-9 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-chloro-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

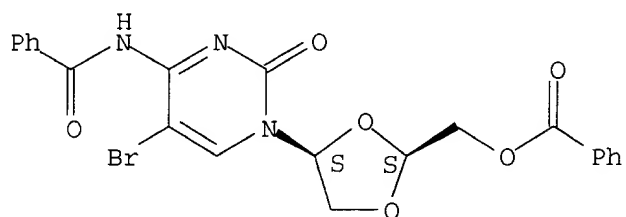
09/976,249



RN 146996-33-0 CAPLUS

CN Benzamide, N-[1-[2-[(benzyloxy)methyl]-1,3-dioxolan-4-yl]-5-bromo-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

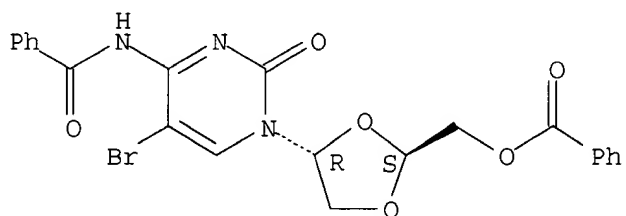
Absolute stereochemistry.



RN 146996-34-1 CAPLUS

CN Benzamide, N-[1-[2-[(benzyloxy)methyl]-1,3-dioxolan-4-yl]-5-bromo-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

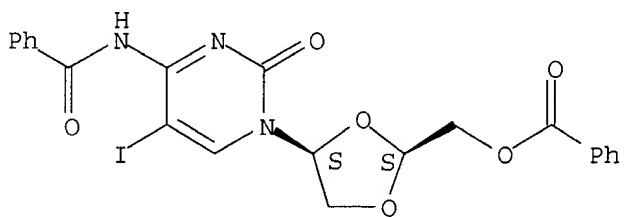
Absolute stereochemistry.



RN 146996-35-2 CAPLUS

CN Benzamide, N-[1-[2-[(benzyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-5-iodo-2-oxo-4-pyrimidinyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

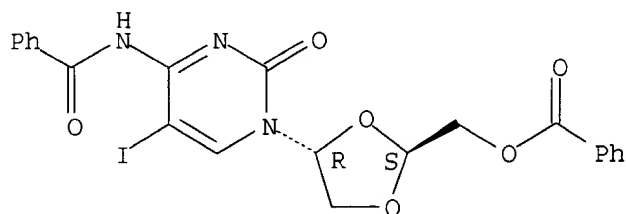
Absolute stereochemistry.



RN 146996-36-3 CAPLUS

CN Benzamide, N-[1-[2-[(benzyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-5-iodo-2-oxo-4-pyrimidinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:539657 CAPLUS

DOCUMENT NUMBER: 119:139657

TITLE: The synthesis and anti-HIV activity of pyrimidine dioxolanyl nucleosides

AUTHOR(S): Wilson, Lawrence J.; Choi, Woo Baeg; Spurling, Travis; Liotta, Dennis C.; Schinazi, Raymond F.; Cannon, Deborah; Painter, George R.; St. Clair, Marty; Furman, Phillip A.

CORPORATE SOURCE: Dep. Chem., Emory Univ., Atlanta, GA, 30322, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1993), 3(2), 169-74

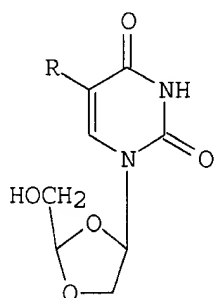
CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

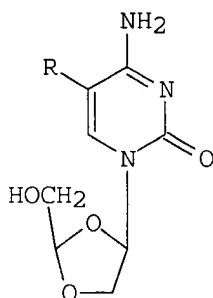
LANGUAGE: English

OTHER SOURCE(S): CASREACT 119:139657

GI



I



II

AB A series of 5-substituted uracil and cytosine dioxolanyl nucleosides, e.g. I and II (R = H, Br, Cl, F, iodo), were synthesized as potential anti-HIV agents. II (R = H, F) were extremely potent in acutely infected human lymphocytes.

IT 149620-13-3P 149620-14-4P 149620-15-5P

149620-16-6P 149620-17-7P 149620-18-8P

149620-19-9P 149620-23-5P 149620-24-6P

149620-25-7P 149620-26-8P 149620-27-9P

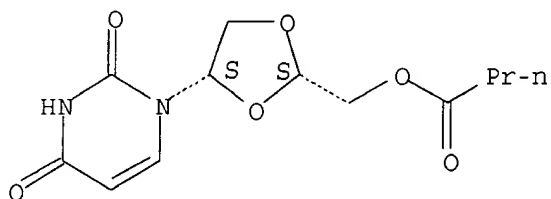
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and debutyrylation of)

RN 149620-13-3 CAPLUS

CN Butanoic acid, [4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

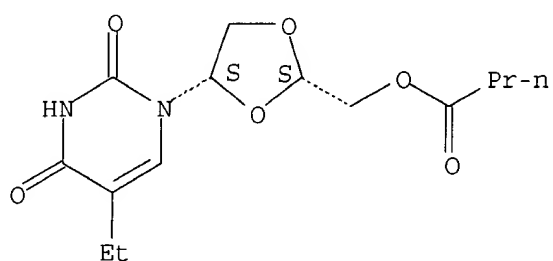
09/976,249



RN 149620-14-4 CAPLUS

CN Butanoic acid, [4-(5-ethyl-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

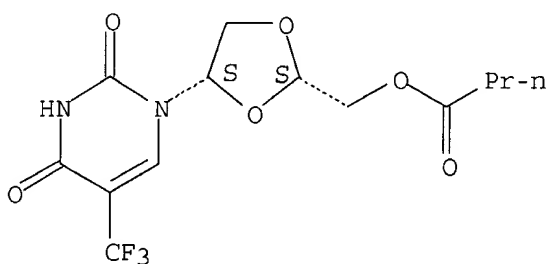
Relative stereochemistry.



RN 149620-15-5 CAPLUS

CN Butanoic acid, [4-[3,4-dihydro-2,4-dioxo-5-(trifluoromethyl)-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

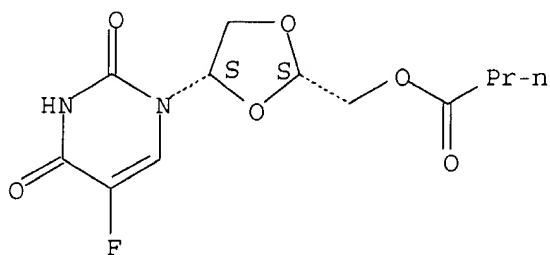
Relative stereochemistry.



RN 149620-16-6 CAPLUS

CN Butanoic acid, [4-(5-fluoro-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

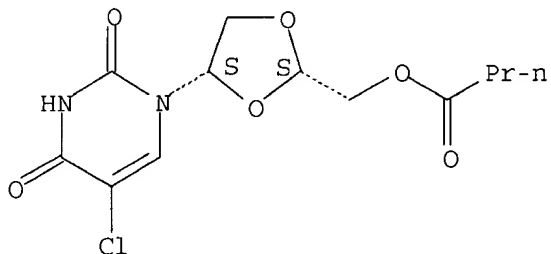


RN 149620-17-7 CAPLUS

09/976,249

CN Butanoic acid, [4-(5-chloro-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

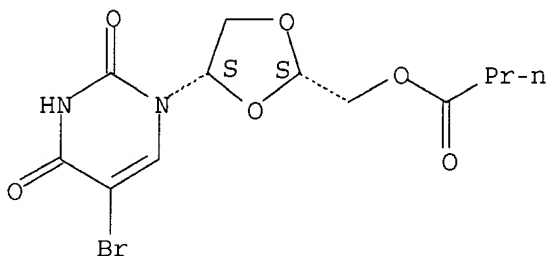
Relative stereochemistry.



RN 149620-18-8 CAPLUS

CN Butanoic acid, [4-(5-bromo-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

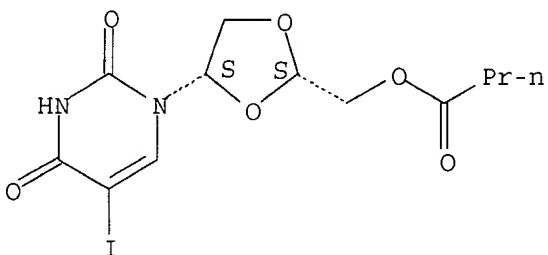
Relative stereochemistry.



RN 149620-19-9 CAPLUS

CN Butanoic acid, [4-(3,4-dihydro-5-iodo-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

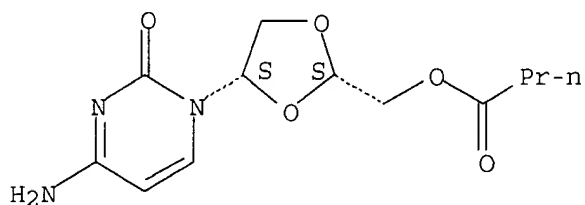


RN 149620-23-5 CAPLUS

CN Butanoic acid, [4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

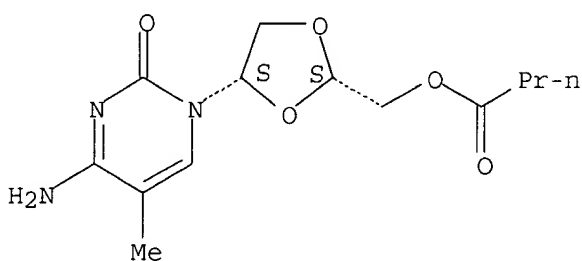
09/976,249



RN 149620-24-6 CAPLUS

CN Butanoic acid, [4-(4-amino-5-methyl-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

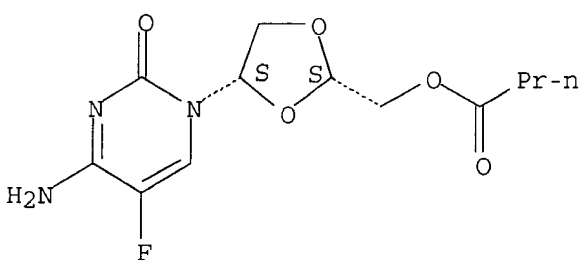
Relative stereochemistry.



RN 149620-25-7 CAPLUS

CN Butanoic acid, [4-(4-amino-5-fluoro-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

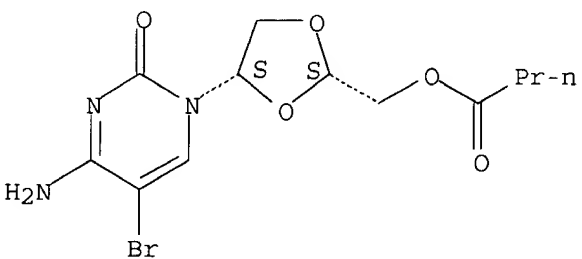
Relative stereochemistry.



RN 149620-26-8 CAPLUS

CN Butanoic acid, [4-(4-amino-5-bromo-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

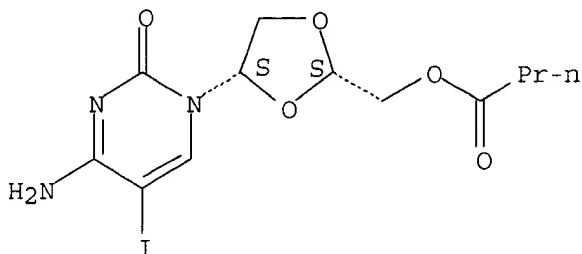


RN 149620-27-9 CAPLUS

09/976,249

CN Butanoic acid, [4-(4-amino-5-iodo-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:486018 CAPLUS

DOCUMENT NUMBER: 119:86018

TITLE: Treatment or prevention of hepatitis B virus infection with nucleoside analogs

INVENTOR(S): Cheng, Yung Chi; Chu, Chung K.; Kim, Hea O.; Shanmuganathan, Kirupathevy

PATENT ASSIGNEE(S): Yale University, USA; University of Georgia Research Foundation, Inc

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9218517	A1	19921029	WO 1992-US3144	19920416
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
PRIORITY APPLN. INFO.:		US 1991-686617	19910417	
		US 1991-718806	19910621	
		US 1991-785545	19911031	

AB Hepatitis B virus infection is treated or prevented by administration of (-)- or (+)-3'-thia-2',3'-dideoxycytidine, (+)-I, their 5-fluoro derivs., or (-)-L- or (+)-.beta.-dioxolanylcytosine or their salts or esters. The preferred dose of I in humans is 2-10 mg/kg/day. Thus, I and 5-fluoro-3'-thia-2',3'-dideoxycytidine at 1.0 .mu.M inhibited replication of hepatitis B virus in 2.2.15 cells without affecting mitochondrial DNA synthesis. (+)-I was converted to (-)-I by selective deamination of (+)-I with deoxycytidine deaminase.

IT **145416-35-9P 145416-36-0P**

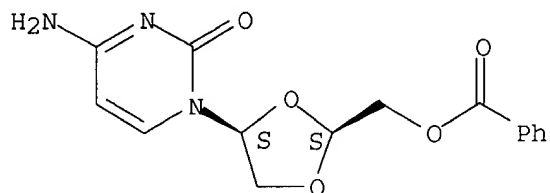
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and debenzoylation of)

RN 145416-35-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

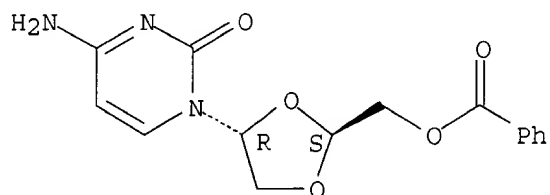
09/976,249



RN 145416-36-0 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2-[(benzyloxy)methyl]-1,3-dioxolan-4-yl]-,
(2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:60030 CAPLUS

DOCUMENT NUMBER: 118:60030

TITLE: Potent anti-HIV and anti-HBV activities of
(-)-L-.beta.-dioxolane-C and (+)-L-.beta.-dioxolane-T
and their asymmetric syntheses

AUTHOR(S): Kim, Hea O.; Shanmuganathan, Kirupathevy; Alves,
Antonio J.; Jeong, Lak S.; Beach, J. Warren; Schinazi,
Raymond F.; Chang, Chien Neng; Cheng, Yung Chi; Chu,
Chung K.

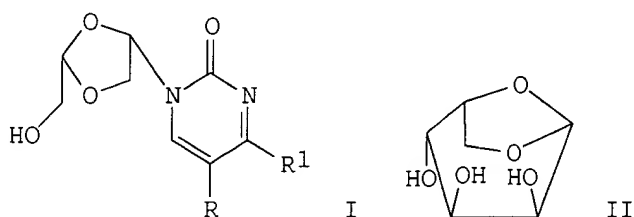
CORPORATE SOURCE: Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA
SOURCE: Tetrahedron Letters (1992), 33(46), 6899-902
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 118:60030

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AB The asym. syntheses of (+)-L-.beta.-dioxolane-T (I; R = Me, R1 = OH) and
(-)-L-.beta.-dioxolane-C (I; R= H, R1 = NH2) were accomplished starting
from 1,6-anhydro-L-.beta.-gulopyranose (II), and their anti-HIV and
anti-HBV activities were evaluated in human PBM cells, CEM cells and
2.2.15 cells, resp.

IT 145354-83-2P 145354-84-3P 145414-63-7P
145414-64-8P

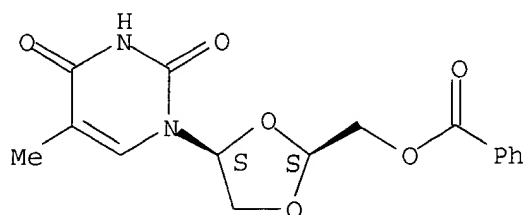
09/976,249

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and Zemplen deacylation of)

RN 145354-83-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, (2S-cis)- (9CI) (CA INDEX NAME)

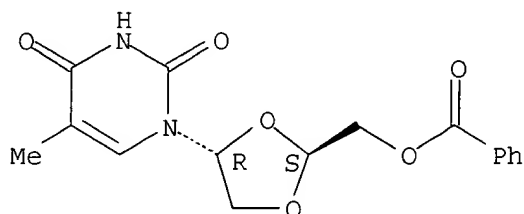
Absolute stereochemistry.



RN 145354-84-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, (2S-trans)- (9CI) (CA INDEX NAME)

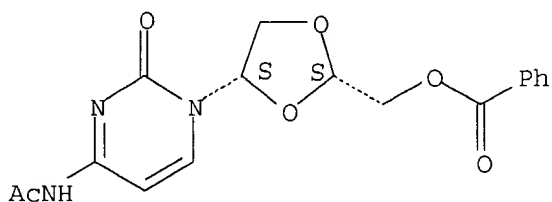
Absolute stereochemistry.



RN 145414-63-7 CAPLUS

CN Acetamide, N-[1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

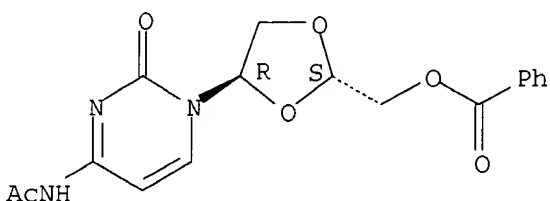
Absolute stereochemistry. Rotation (-).



RN 145414-64-8 CAPLUS

CN Acetamide, N-[1-[(2S,4R)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L5 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:198359 CAPLUS

DOCUMENT NUMBER: 112:198359

TITLE: Purinyl- and pyrimidinyl-1,3-dioxolanes as anti-retrovirals

INVENTOR(S): Belleau, Bernard; Dixit, Dilop; Nguyen Ba Nghe

PATENT ASSIGNEE(S): IAF Biochem International Inc., Can.

SOURCE: Eur. Pat. Appl., 20 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

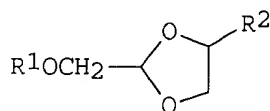
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

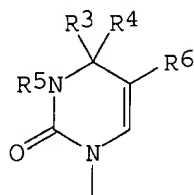
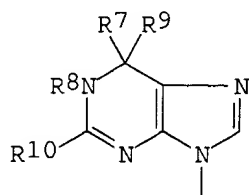
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 337713	A2	19891018	EP 1989-303537	19890411
EP 337713	A3	19901122		
EP 337713	B1	19951018		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8901720	A	19891012	DK 1989-1720	19890410
CA 1339609	A1	19971230	CA 1989-596218	19890410
AU 8932644	A1	19891012	AU 1989-32644	19890411
AU 631786	B2	19921210		
JP 01316375	A2	19891221	JP 1989-89893	19890411
JP 3085675	B2	20000911		
ZA 8902645	A	19891227	ZA 1989-2645	19890411
IL 89921	A1	19930818	IL 1989-89921	19890411
AT 129247	E	19951115	AT 1989-303537	19890411
ES 2078234	T3	19951216	ES 1989-303537	19890411
US 5270315	A	19931214	US 1991-666045	19910307
US 6350753	B1	20020226	US 1998-163374	19980930
US 2003087918	A1	20030508	US 2002-73116	20020212
PRIORITY APPLN. INFO.:			US 1988-179615	A 19880411
			US 1989-308101	A2 19890208
			US 1990-546676	A3 19900629
			US 1990-564160	B1 19900807
			US 1991-666045	A3 19910307
			US 1994-306830	A1 19940915
			US 1998-163374	A1 19980930

OTHER SOURCE(S): MARPAT 112:198359

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I

Q¹Q²

AB Title compds. I [R¹ = H, acyl, (substituted) PhCO; R² = Q¹ [R³R⁴ = O and R⁵ = H and R⁶ = Me, alkyl, halo; R³ = (monoalkyl-substituted) amino and R⁴R⁵ = bond and R⁶ = H, alkyl], Q² (R⁷ = H and R⁸R⁹ = bond and R¹⁰ = NH₂; R⁷ = NH₂ and R⁸R⁹ = bond and R¹⁰ = H, NH₂; R⁷ = Cl and R⁸R⁹ = bond and R¹⁰

= NH₂; R₁₇R₉ = O and R₈ = H and R₁₀ = NH₂) are prep'd. for treatment of AIDS. trans-I (R₁ = H; R₂ = Q₁; R₃ = NH₂; R₄R₅ = bond; R₆ = H) (prep'd. from 2-chloromethyl-4-hydroxymethyl-1,3-dioxolane with 6 steps) at 10 .mu.M reduced reverse transcriptase activity in a HIV-I infected medium to 4608 cpm after 8 days, vs 633 cpm for AZT at 20 .mu.M.

IT **126652-51-5P 126652-52-6P**

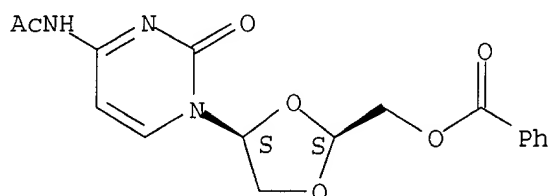
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of anti-retrovirals)

RN 126652-51-5 CAPLUS

CN Acetamide, N-[1-[(2R,4R)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, rel- (9CI) (CA INDEX NAME)

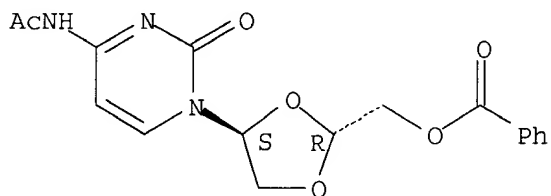
Relative stereochemistry.



RN 126652-52-6 CAPLUS

CN Acetamide, N-[1-[(2R,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **126652-29-7P 126652-31-1P 126652-45-7P**

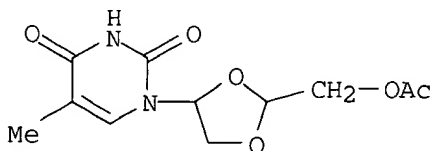
126652-46-8P 126652-55-9P 126652-56-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as anti-retroviral)

RN 126652-29-7 CAPLUS

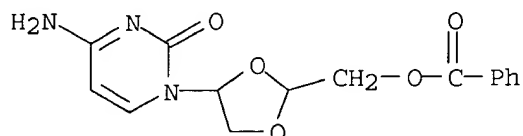
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl- (9CI) (CA INDEX NAME)



RN 126652-31-1 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

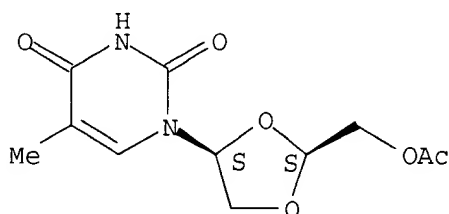
09/976,249



RN 126652-45-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, rel- (9CI) (CA INDEX NAME)

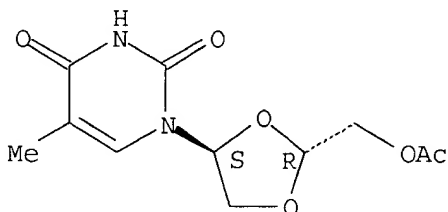
Relative stereochemistry.



RN 126652-46-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, rel- (9CI) (CA INDEX NAME)

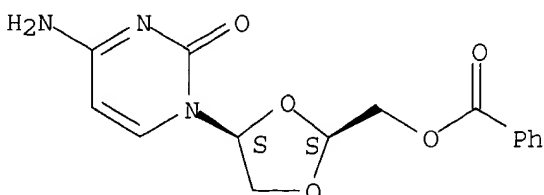
Relative stereochemistry.



RN 126652-55-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2R,4R)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

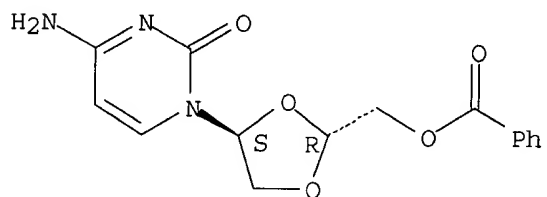


RN 126652-56-0 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2R,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/976,249



=> d his

(FILE 'HOME' ENTERED AT 10:58:22 ON 29 MAY 2003)

FILE 'REGISTRY' ENTERED AT 10:58:44 ON 29 MAY 2003

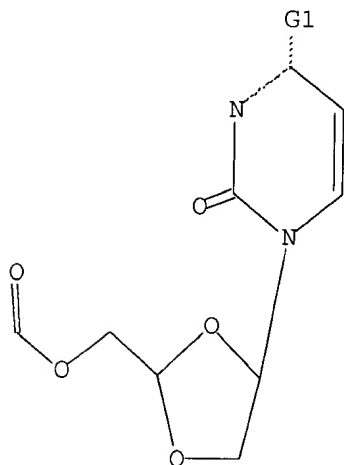
L1 STRUCTURE UPLOADED
L2 11 S L1
L3 181 S L1 FULL

FILE 'CAPLUS' ENTERED AT 11:01:08 ON 29 MAY 2003

L4 13 S L3
L5 13 DUP REM L4 (0 DUPLICATES REMOVED)

=> d l1

L1 HAS NO ANSWERS
L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.